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# LOGINID:SSPTABEM1624

#### PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * * *		
NEWS	1			Web Page for STN Seminar Schedule - N. America		
NEWS	2	NOV	21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present		
NEWS	3	NOV	26	MARPAT enhanced with FSORT command		
NEWS	4	NOV		CHEMSAFE now available on STN Easy		
NEWS	5	NOV		Two new SET commands increase convenience of STN		
MEMP	5			searching		
NEWS	6	DEC		ChemPort single article sales feature unavailable		
NEWS	7	DEC	12	GBFULL now offers single source for full-text		
				coverage of complete UK patent families		
NEWS	8	DEC		Fifty-one pharmaceutical ingredients added to PS		
NEWS	9	JAN	06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo		
NEWS	10	JAN	07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent		
117770			00	Classification Data		
NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE		
NEWS	12	FEB	02	GENBANK enhanced with SET PLURALS and SET SPELLING		
NEWS	13	FEB	06	Patent sequence location (PSL) data added to USGENE		
NEWS	14	FEB	10	COMPENDEX reloaded and enhanced		
NEWS	15	FEB	11	WTEXTILES reloaded and enhanced		
NEWS	16	FEB	19	New patent-examiner citations in 300,000 CA/CAplus		
				patent records provide insights into related prior art		
NEWS	17	FEB	19	Increase the precision of your patent queries use		
NEWS	10	FEB	22	terms from the IPC Thesaurus, Version 2009.01 Several formats for image display and print options		
MEMO	10	FED	23	discontinued in USPATFULL and USPAT2		
NEWS	19	FEB	23	MEDLINE now offers more precise author group fields		
NELLO	20		0.0	and 2009 MeSH terms		
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more		
NEWS	0.1	FEB	22	precise author group fields and 2009 MeSH terms Three million new patent records blast AEROSPACE into		
NEWS	21	FEB	23	STN patent clusters		
NEWS	22	FEB	25	USGENE enhanced with patent family and legal status display data from INPADOCDB		
MEMO	EVDI	EVEDERO		JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3.		
NEWS	EAPRESS			CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.		
NEWS	ноп	20	STR	N Operating Hours Plus Help Desk Availability		
	LOGIN		Welcome Banner and News Items			
	IPC8			general information regarding STN implementation of IPC 8		
			1 01	- 50.00-00 impromenedation of the o		

Enter NEWS followed by the item number or name to see news on that specific topic.

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=> fil req

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.22 0.22

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STRUCTURE FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1 DICTIONARY FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

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http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\STNEXP\Oueries\10552426genericclaim9.str

chain nodes :

20

ring nodes :

1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 ring/chain nodes :

chain bonds :

```
7-11 9-20 11-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-16 13-14 14-15
15-16
exact/norm bonds :
7-11 9-20 11-12 12-13 12-16 13-14 14-15 15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 20:Atom
Generic attributes :
20.
Saturation
                     : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System : Monocyclic
Element Count :
Node 20: Limited
   N.NO
   C, C0
```

#### L1 STRUCTURE UPLOADED

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam SAMPLE SEARCH INITIATED 17:29:40 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 2083 TO ITERATE

96.0% PROCESSED 2000 ITERATIONS 0 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 38923 TO 44397 0 TO PROJECTED ANSWERS: 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 17:29:45 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 42477 TO ITERATE

100.0% PROCESSED 42477 ITERATIONS

0 ANSWERS SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

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ring nodes :

1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18

ring/chain nodes : 11

chain bonds :

7-11 ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16 16-17 17-18

exact/norm bonds : 7-11

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16 16-17 17-18

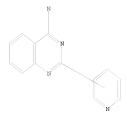
isolated ring systems : containing 1 : 13 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom

#### L4 STRUCTURE UPLOADED

L4 HAS NO ANSWERS L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 14 sss sam
SAMPLE SEARCH INITIATED 17:32:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2256 TO ITERATE

88.7% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

38 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 42271 TO 47969 PROJECTED ANSWERS: 465 TO 1249

L5 38 SEA SSS SAM L4

=> s 14 sss full FULL SEARCH INITIATED 17:32:47 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 45297 TO ITERATE

100.0% PROCESSED 45297 ITERATIONS SEARCH TIME: 00.00.02

1075 ANSWERS

L6 1075 SEA SSS FUL L4

=> d scan

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Quinazolinamine, N-methyl-6-nitro-N-phenyl-2-(3-pyridinyl)-

MF C20 H15 N5 O2

CI COM

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):100

- 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 4-Quinazolinamine, N-methyl-6-nitro-N-phenyl-2-(3-pyridinyl)-, IN
- hydrochloride (1:2) C20 H15 N5 O2 . 2 C1 H
- MF

●2 HC1

- 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- Quinazoline, 4-[3-(4-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl]-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl]-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinyl)-2-(3-methyl-4H-1,4-triazol-3-yl)-1-piperidinylIN pyridinyl)-
- MF C21 H21 N7

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N,N-bis(2-methylpropyl)-2-(3-pyridinyl)-, hydrochloride (1:1)
- MF C21 H26 N4 . C1 H

# • HC1

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Quinazoline, 4-[4-(benzo[b]thien-3-ylmethyl)-1-piperazinyl]-2-(3-
- pyridinyl)-
- MF C26 H23 N5 S

- 6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Quinazoline, 4-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-2-(3-pyridinyl)-, ethanedioate (1:1)
- MF C25 H25 N5 . C2 H2 O4

CM 2

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Quinazolinamine, N-[3-methyl-2-(4-morpholinyl)butyl]-2-(3-pyridinyl)-MF C22 H27 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Quinazoline, 4-[4-[(3,4-dimethylphenyl)sulfonyl]-1-piperazinyl]-2-(3-pyridinyl)-

MF C25 H25 N5 O2 S

Me

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-nitroso-2-(3-pyridinyl)-, sodium salt (1:1)
- MF C13 H9 N5 O . Na

Na

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1-Piperazinecarboxamide, N-[4-(1-methylethyl)phenyl]-4-[2-(3-pyridinyl)-4-quinazolinyl]-
- MF C27 H28 N6 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Methanone, cyclobuty1[4-[2-(3-pyridiny1)-4-quinazoliny1]-1-piperaziny1]-
- MF C22 H23 N5 O

- 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L6
- Methanone, (2-chlorophenyl)[4-[2-(3-pyridinyl)-4-quinazolinyl]-1-piperazinyl]-C24 H2O C1 N5 O IN
- MF

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]-
- MF C24 H26 N8

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1-Piperazineacetic acid,  $\alpha$ -(4-fluorophenyl)-4-[2-(3-pyridinyl)-4-
- quinazoliny1]-, methyl ester MF C26 H24 F N5 O2

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Methanone, 1,3-benzodioxol-5-yl[4-[2-(4-pyridinyl)-4-quinazolinyl]-1-piperazinyl]-
- MF C25 H21 N5 O3

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Quinazoline, 4-[4-(1H-benzimidazol-2-yl)-1-piperidinyl]-2-(4-pyridinyl)-
- MF C25 H22 N6

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Benzamide, N-[2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]ethyl]-4-
- (trifluoromethyl)-MF C23 H18 F3 N5 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[2-(1,2,4-triazolo[4,3-a]pyridin-3-yl)ethyl]-
- MF C21 H17 N7

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-[2-(4-methoxyphenyl)-2-(1-pyrrolidinyl)ethyl]-2-(3-
- pyridinyl)-MF C26 H27 N5 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Benzenemethanol, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-
- MF C20 H16 N4 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Isoquinolinol, 1,2,3,4-tetrahydro-5,8-dimethoxy-2-[2-(4-pyridiny1)-4-
- quinazoliny1]-MF C24 H22 N4 O3

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- $\label{eq:continuous} \mbox{IN} \quad \mbox{Quinazoline, } 4-[4-[(2-fluorophenyl)methyl]-1-piperazinyl]-2-(4-pyridinyl)-1-piperazinyl] = 0.$
- MF C24 H22 F N5

- 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Quinazoline, 4-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-(4-
- pyridiny1)-C25 H23 N5 O2 MF

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- Quinazoline, 4-[4-[[2-(4-methoxyphenyl)-4-thiazolyl]methyl]-1-piperazinyl]-IN 2-(4-pyridinyl)-C28 H26 N6 O S
- MF

PAGE 2-A

OMe

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, N-[1-[2-(4-pyridinyl)-4-quinazolinyl]-4-piperidinyl]-

MF C25 H23 N5 O

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenemethanesulfonamide, N-methyl-4-[[[2-(4-pyridinyl)-4-quinazolinyl]amino]methyl]-

MF C22 H21 N5 02 S

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Piperidinemethanol, \( \alpha - \text{phenyl-1-[2-(3-pyridinyl)-4-quinazolinyl]-} \)

MF C25 H24 N4 O

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1-Piperazineacetamide, N-cyclopropyl- $\alpha$ -methyl-4-[2-(3-pyridinyl)-4-quinazolinyl]-

MF C23 H26 N6 O

- 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Ethanone, 2-[methyl[2-(3-pyridinyl)-4-quinazolinyl]amino]-1-(1-
- pyrrolidinyl) -C20 H21 N5 O MF

- 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Ethanol, 2-[methyl[2-(4-pyridinyl)-4-quinazolinyl]amino]-MF C16 H16 N4 O

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Quinazolinamine, N-[2-(5-methoxy-1H-indol-3-yl)ethyl]-2-(3-pyridinyl)-

MF C24 H21 N5 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2,5-Pyrrolidinedione, 1-[[3-[4-(cyclopropylamino)-2-(2-pyridinyl)-6-quinazolinyl]phenyl]methyl]-
- MF C27 H23 N5 O2

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-(2,3-dihydro-1H-inden-1-y1)-2-(3-pyridiny1)-
- MF C22 H18 N4

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-(4-chlorophenyl)-2-(6-chloro-2-pyridinyl)-
- MF C19 H12 C12 N4

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Quinazoline, 4-(1H-benzotriazol-1-yl)-2-(3-pyridinyl)-
- MF C19 H12 N6

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-(2-methylphenyl)-2-(3-pyridinyl)-
- MF C20 H16 N4
- CI COM

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-methyl-2-(3-pyridinyl)-N-[2-(2-pyridinyl)ethyl]-
- MF C21 H19 N5

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Quinazoline, 4-[5-(3-fluorophenyl)-2H-tetrazol-2-yl]-2-(3-pyridinyl)-
- MF C20 H12 F N7

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1-Piperazineacetamide, α-phenyl-4-[2-(3-pyridinyl)-4-quinazolinyl]-
- MF C25 H24 N6 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(tetrahydro-1,1-dioxido-3-thienyl)-
- MF C17 H16 N4 O2 S

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Quinazoline, 4-[4-[(3-fluoro-4-methoxyphenyl)methyl]-1-piperazinyl]-2-(3-
- pyridinyl)-
- MF C25 H24 F N5 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Quinazoline, 4-[2-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-pyrrolidinyl]-2-(3pyridinyl)-
- MF C25 H22 N4 O2

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- $\label{eq:continuous} {\tt IN Quinazoline, 4-[2-(2,4-dimethoxyphenyl)-1-pyrrolidinyl]-2-(3-pyridinyl)-1-pyrrolidinyl} = 2-(3-pyridinyl) -$
- MF C25 H24 N4 O2

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Quinazoline, 4-[4-[(2-fluorophenyl)sulfonyl]-1-piperazinyl]-2-(3-pyridinyl)-
- MF C23 H20 F N5 O2 S

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Quinazoline, 4-(4-methyl-2-phenyl-1-piperazinyl)-2-(3-pyridinyl)-

MF C24 H23 N5

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Ethanone, 1-(4-morpholinyl)-2-[4-[2-(3-pyridinyl)-4-quinazolinyl]-1piperazinyl]-

MF C23 H26 N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Phenol, 2-[4-[2-(3-pyridinyl)-4-quinazolinyl]-1-piperazinyl]-

MF C23 H21 N5 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Quinazoline, 4-(3,5-dimethyl-1-piperidinyl)-2-(3-pyridinyl)-MF C20 H22 N4

Ме

Me

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-[(3-methoxyphenyl)methyl]-2-(4-pyridinyl)-
- MF C21 H18 N4 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-[2-(3-fluorophenyl)ethyl]-2-(2-pyridinyl)-
- MF C21 H17 F N4

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-(5-chloro-2-methoxyphenyl)-2-(3-pyridinyl)-
- MF C20 H15 C1 N4 O
- CI COM

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1,2-Ethanediamine, N1,N1-dimethyl-N2-[2-(3-pyridinyl)-4-quinazolinyl]-1-(2-thienyl)-
- MF C21 H21 N5 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2-Pyridinamine, 4-[4-[(1S,4S)-5-ethyl-2,5-diazabicyclo[2.2.1]hept-2-yl]-2-quinazolinyl]-N-[(1S)-1-phenylethyl]-
- MF C28 H30 N6

Absolute stereochemistry.

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2-(3-pyridinyl)-
- MF C23 H22 N4 O2

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Acetamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-[ethyl[2-(3-pyridinyl)-4-quinazolinyl]amino]-
- MF C25 H23 N5 O3

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-[1-(2-methoxyphenyl)ethyl]-2-(3-pyridinyl)-
- MF C22 H20 N4 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Benzenesulfonamide, 4-[2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]ethyl]-
- MF C21 H19 N5 O2 S

1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 4-Quinazolinamine, N-cyclohexyl-2-(2-pyridinyl)-C19 H20 N4 IN

MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Quinazoline, 4-(4-morpholiny1)-2-(2-pyridiny1)-MF C17 H16 N4 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-[2-(4-morpholiny1)ethy1]-2-(2-pyridiny1)-
- MF C19 H21 N5 O

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-[4-(1,1-dimethylethyl)phenyl]-2-(3-pyridinyl)-
- MF C23 H22 N4

- 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L6
- IN 4-Quinazolinamine, N-(3S)-3-piperidiny1-2-(4-pyridiny1)-

MF C18 H19 N5

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2(1H)-Pyridinone, 5-[4-[[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1vl|amino|-2-quinazolinvl|-
- C22 H18 N4 O2 MF

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(6-methoxy-3-pyridiny1)-7(trifluoromethyl)-4-quinazolinyl]amino]-, (1S,2R)-MF C24 H19 F3 N4 O2

Absolute stereochemistry.

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(2-pyridinyl)-4-quinazolinyl]amino]-,
- (1R, 2S)-
- MF C22 H18 N4 O

Absolute stereochemistry.

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-4-piperidinyl-2-(4-pyridinyl)-
- MF C18 H19 N5

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-[(4-fluorophenyl)methyl]-2-(4-pyridinyl)-
- MF C20 H15 F N4

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 2(1H)-Pyridinone, 3-[4-(dimethylamino)-2-quinazolinyl]-
- MF C15 H14 N4 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, 6-methoxy-2-(6-methy1-2-pyridiny1)-N-4-pyridiny1-
- MF C20 H17 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-(2-phenylethyl)-2-(2-pyridinyl)-
- MF C21 H18 N4

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]-
- MF C26 H15 F6 N5

- 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L6
- 2-Propanol, 1-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-C16 H16 N4 O IN
- MF

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 4-Quinazolinamine, N,2-di-4-pyridinyl-IN
- C18 H13 N5 MF

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Butanoic acid, 4-[[2-(3-pyridiny1)-4-quinazoliny1]amino]-

MF C17 H16 N4 O2

NH- (CH2)3-CO2H

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Quinazolinamine, N,N-di-2-propen-1-y1-2-(3-pyridiny1)-

MF C19 H18 N4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Quinazolinamine, N-2-propen-1-v1-2-(3-pyridinyl)-

MF C16 H14 N4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1,4-Benzenediamine, N1,N1-dimethyl-N4-[2-(3-pyridinyl)-4-quinazolinyl]-

MF C21 H19 N5

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-(3-methoxyphenyl)-2-(3-pyridinyl)-
- MF C20 H16 N4 O

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-
- MF C17 H14 N6
- CI COM

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

Benzoic acid, 4-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, methyl ester C21 H16 N4 O2 IN MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Quinazolinamine, N-(2-chlorophenyl)-2-(3-pyridinyl)-

MF C19 H13 C1 N4

- 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- 4-Quinazolinamine, N-(3-fluorophenyl)-2-(4-pyridinyl)-C19 H13 F N4 IN
- MF

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Benzaldehyde, 3-methoxy-, 2-[2-(3-pyridinyl)-4-quinazolinyl]hydrazone
- MF C21 H17 N5 O

1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L6 Quinazoline, 4-(4-morpholiny1)-2-(3-pyridiny1)-C17 H16 N4 O IN

MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Quinazolinamine, N-(4-bromophenyl)-2-(3-pyridinyl)-

C19 H13 Br N4 MF

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Quinazoline, 4-(1-piperidiny1)-2-(3-pyridiny1)-
- MF C18 H18 N4

- \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*
- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-(3-chlorophenyl)-2-(4-pyridinyl)-
- MF C19 H13 C1 N4

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1H-Pyrazole-4-carboxylic acid, 5-amino-1-[2-(4-pyridinyl)-4-quinazolinyl]-, ethyl ester
- MF C19 H16 N6 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L6
- IN Urea, N-phenyl-N'-[2-(4-pyridinyl)-4-quinazolinyl]-
- MF C20 H15 N5 O

- 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L6
- TN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-
- MF C22 H21 N5 COM

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN

Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]-C22 H19 N5 O

MF

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)

ME C17 H16 N4 . 2 C1 H

## ●2 HC1

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-
- MF C20 H16 N4
- CI COM

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-
- MF C20 H15 C1 N4
- CI COM

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-
- MF C22 H20 N4 O2
- CI COM

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Ethanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]-
- MF C15 H13 N5

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridiny1)-, hydrochloride (1:1)

MF C15 H14 N4 O2 . C1 H

● HCl

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Quinazolinamine, N-[2-(5-methyl-2-furanyl)-2-(4-morpholinyl)ethyl]-2-(3-pyridinyl)-

MF C24 H25 N5 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1,4-Benzenediamine, N1,N1,N4-trimethyl-N4-[2-(3-pyridinyl)-4-quinazolinyl]-

MF C22 H21 N5

CI COM

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-methyl-N-phenyl-2-(4-pyridinyl)-, hydrochloride (1:2)
- MF C20 H16 N4 . 2 C1 H

## ●2 HC1

- L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 4-Quinazolinamine, N-[3-(2-pyrazinyloxy)phenyl]-2-(3-pyridinyl)-
- MF C23 H16 N6 O

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 376.08 376.30

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 17:35:50 ON 03 MAR 2009 USE 15 SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 3 Mar 2009 VOL 150 ISS 10 FILE LAST UPDATED: 2 Mar 2009 (20090302/ED)

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(FILE 'HOME' ENTERED AT 17:29:05 ON 03 MAR 2009)

FILE 'REGISTRY' ENTERED AT 17:29:15 ON 03 MAR 2009

L1 STRUCTURE UPLOADED
L2 0 S L1 SSS SAM
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L4 STRUCTURE UPLOADED
L5 38 S L4 SSS SAM
L6 1075 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:35:50 ON 03 MAR 2009

=> s 16 and (pry<2003) 64 L6 3973549 PRY<2003 L7 30 L6 AND (PRY<2003) => d 1-30 ibib abs hitstr

L7 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:534191 CAPLUS DOCUMENT NUMBER: 141:89100

TITLE: Preparation of (quinazolin-4-yl)amines as capsaicin receptor modulators

Bakthavatchalam, Rajagopal; Blum, Charles A.; INVENTOR(S): Brielmann, Harry; Caldwell, Timothy M.; De Lombaert,

Stephane; Hodgetts, Kevin J.; Zheng, Xiaozhang PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 226 pp.

CODEN: PIXXD2

Patent

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

GI

AB

PATENT NO.		DATE	APPLICATION NO.				
WO 2004055003	A1	20040701	WO 2003-US39606	20031212 <			
W: AE, AG,	L, AM, A	r, AU, AZ, BA	A, BB, BG, BR, BW,	BY, BZ, CA, CH,			
CN, CO,	R, CU, C	Z, DE, DK, DN	M, DZ, EC, EE, EG,	ES, FI, GB, GD,			
GE, GH, G	M, HR, H	J, ID, IL, IN	N, IS, JP, KE, KG,	KP, KR, KZ, LC,			
LK, LR,	S, LT, L	J, LV, MA, MI	D, MG, MK, MN, MW,	MX, MZ, NI, NO,			
NZ, OM, 1	G, PH, PI	L, PT, RO, RU	U, SC, SD, SE, SG,	SK, SL, SY, TJ,			
TM, TN,	R, TT, T	Z, UA, UG, US	S, UZ, VC, VN, YU,	ZA, ZM, ZW			
RW: BW, GH,	M, KE, L:	S, MW, MZ, SI	D, SL, SZ, TZ, UG,	ZM, ZW, AM, AZ,			
			I, BE, BG, CH, CY,				
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			CA 2003-2509233				
			AU 2003-296984				
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EP 1569925	A1	20050907	EP 2003-813410	20031212 <			
R: AT, BE,	H, DE, DI	K, ES, FR, GE	B, GR, IT, LI, LU,	NL, SE, MC, PT,			
IE, SI,	T, LV, F:	I, RO, MK, C	Y, AL, TR, BG, CZ,	EE, HU, SK			
BR 2003017168	A	20051101	BR 2003-17168	20031212 <			
CN 1726205	A	20060125	CN 2003-80105815	20031212 <			
JP 2006515846	T	20060608	JP 2004-560827	20031212 <			
MX 2005006123	A	20050930	MX 2005-6123	20050608 <			
PRIORITY APPLN. INFO.			US 2002-433139P	P 20021213 <			
			WO 2003-US39606	W 20031212			
OTHER SOURCE(S):	MARPA'	Г 141:89100					

Title compds. I [wherein V, W, X, Y, and Z = independently N, CR1, with the proviso that at least one of V and X = N; R = OR7, NR3R4; R1 =

ΙI

independently H, halo, OH, CN, NH2, (halo)alkyl, (halo)alkoxy, alkoxycarbonyl, (di)alkylamino; R3 and R4 = independently H, (un)substituted (aryl)alkyl, alkenyl, alkynyl, alkanoyl, etc.; or R3 or R4 taken together with R5 or R6 forms an (un)substituted heterocycle; or NR3R4 = heterocyclyl; R5 and R6 = independently H, (un)substituted alkyl; or CR5R6 = CO; R7 = H, (aryl)alkyl, alkenyl, alkynyl, alkanoyl, etc.; or R7 taken together with R5 or R6 forms an (un)substituted heterocycle; n = 1-3; Ar1 and Ar2 = independently (un)substituted aryl, heterocyclyl; and pharmaceutically acceptable forms thereof| were prepared as modulators of capsaicin receptors, especially the vanilloid receptor 1 (VR1). For example, a solution of [2-(chloromethyl)-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4yl] (4-trifluoromethylphenyl)amine+HCl and pyrrolidine was heated to 100° for 1 h to give II. In competition binding assays, invention compds. exhibited Ki ≤ 1 µM for VR1 expressed in human embryonic kidney (HEK293) cells. Thus, I and their pharmaceutical compns. are useful for treating disorders associated with pathol. receptor activation, such as pain, in humans, domesticated companion animals, and livestock animals (no data).

IT 573686-39-2P 573686-40-5P 573686-41-6P 573686-42-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(VR1 inhibitor; preparation of (quinazolin-4-yl)amines as VR1 inhibitors for treatment of pain and other VR1-mediated conditions) 573686-39-2 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl)- (CA INDEX NAME)

RN

CN

RN 573686-40-5 CAPLUS

4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 573686-41-6 CAPLUS

CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 573686-42-7 CAPLUS

CN 4-Quinazolinamine, 2-[6-(1-pyrrolidiny1)-3-pyridiny1]-N-[4-(trifluoromethy1)pheny1]-7-[3-(trifluoromethy1)-2-pyridiny1]- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:531361 CAPLUS

DOCUMENT NUMBER: 141:76702

TITLE: Combination therapy comprising a heteroarylamine VR1 antagonist and a narcotic analgesic for the treatment

of pain with reduced addictive side effects

INVENTOR(S): Herzberg, Uri; Cortright, Daniel; Hurtt, Mark M.;

Krause, James E.

Neurogen Corporation, USA PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 182 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

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		TR,	BF,	ВJ,	CF,												TD,	
CA	2509	616			A1		2004	0701		CA 2	003-	2509	616		2	0031	119 <	:
	2003																119 <	
US	2004						2004	0722		US 2	003-	7180	34		2	0031	119 <	
EP	1581				A1												119 <	
	R:						ES,											
							RO,											
	2006				T		2006	0406										
PRIORIT	PRIORITY APPLN. INFO.:													P 20021213 <				
							WO 2	003-	us37	209	1	7 2	0031	119				

CF<sub>3</sub>

AB The invention relates to compns. comprising a nontoxic vanilloid receptor 1 (VR1) antagonist, optionally in combination with an addictive therapeutic agent, for the treatment of pain. Compns. and methods are further provided for inhibiting the development of tolerance to addictive therapeutic agents (especially narcotic analgesics) in patients treated with such agents, for minimizing adverse effects (e.g., dependence) resulting from treatment with such addictive agents, and for enhancing pain relief resulting from narcotic analgesic administration. Patients may be treated with a VR1 antagonist before, during, or after administration of the addictive therapeutic agent to prevent, decrease the severity of, delay, or treat tolerance and/or other adverse effects of the addictive agent in the patient. Examples include synthetic methods and limited data for the preparation of representation heteroarylamine VR1 antagonists, as well as capsaicin receptor binding assays and numerous pain model assays. For instance, coupling of 7-bromo-4-chloroguinazoline with 2-amino-5-trifluoromethylpyridine, followed by addition of 3-fluoro-2-tributylstannylpyridine provided I. In a bioassay testing the inhibition of tolerance to morphine, rats receiving morphine plus II exhibited statistically significantly higher withdrawal thresholds than any other treatment group, indicating that the VR1 antagonist prevents tolerance to repeated morphine dosing.

IT 573686-39-2 573686-40-5 573686-41-6 573686-42-7

RL: PRPH (Prophetic)

(Combination therapy comprising a heteroarylamine VRI antagonist and a narcotic analgesic for the treatment of pain with reduced addictive side effects)

RN 573686-39-2 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

- RN 573686-40-5 CAPLUS
- CN 4-Quinazolinamine, 2-(3-pyridiny1)-N-[4-(trifluoromethy1)pheny1]-7-[3-(trifluoromethy1)-2-pyridiny1]- (CA INDEX NAME)

- RN 573686-41-6 CAPLUS
- CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

- RN 573686-42-7 CAPLUS
- CN 4-Quinazolinamine, 2-[6-(1-pyrrolidiny1)-3-pyridiny1]-N-[4-(trifluoromethy1)pheny1]-7-[3-(trifluoromethy1)-2-pyridiny1]- (CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:931342 CAPLUS DOCUMENT NUMBER: 140:791

TITLE: Treatment of fibroproliferative disorders using

S.; Kapoun, Ann M.; Liu, David Y.; Schreiner, George F.; Protter, Andrew A.; Tran, Thomas-Toan

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

PATENT ASSIGNEE(S): Scios, Inc., USA

SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

REFERENCE COUNT:

PATENT NO.					KIND DATE				APPLICATION NO.						ATE			
					A1									20030516 <				_
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
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							CM,											
AU	2003	2293	05		A1		2003	1202		AU 2	003-	2293	05		2	0030	516 <	-
	2004																516 <	
EP 1511738 A1 2005030							0309		EP 2	003-	7268	92		2	0030	516 <	-	
	R:						ES,											
		IE,	SI,	LT,	LV,	FΙ,	RO,	MK,										
IORIT:	Y APP	LN.	INFO	.:													517 <	-
										TC 2	003-	4404	20		n 2	いいろい	516	

WO 2003-US15514

20030516

OTHER SOURCE(S): MARPAT 140:791

AB The invention concerns methods of treating fibroproliferative disorders associated with TGF-β signaling, by administering non-peptide small mol. inhibitors of TGF-β specifically binding to the type I TGF-β

receptor (TGFB-RL). Preferably, the inhibitors are quinazoline derivs. The invention also concerns methods for reversing the effect of TGF- $\beta$  mediated cell activation on the expression of a gene associated with fibrosis, comprising contacting a cell or tissue in which the expression of such gene is altered as a result of TGF- $\beta$  mediated cell activation, with a non-peptide small mol. inhibitor of TGF- $\beta$ , specifically binding a TGF $\beta$ -Rl receptor kinase present in the cell or tissue.

- RN 157862-99-2 CAPLUS
  CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)
- ....,

- RN 474289-44-6 CAPLUS
- CN 4-Quinazolinamine, N, 2-di-4-pyridinyl- (CA INDEX NAME)

- RN 627535-99-3 CAPLUS
- CN 4-Quinazolinamine, N-2-naphthalenyl-2-(4-pyridinyl)- (CA INDEX NAME)

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L7 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:591156 CAPLUS

DOCUMENT NUMBER: 139:149640

TITLE: Preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for

relieving pain

INVENTOR(S): Bakthavatchatam, Rajagopal; Blum, Charles A.;

Brielmann, Harry L.; Caldwell, Timothy M.; De Lombaert, Stephane

PATENT ASSIGNEE(S):

Neurogen Corporation, USA SOURCE: PCT Int. Appl., 294 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.						DATE					
WO		0622	0.9		A2		2003	0731	WO 2003-US1563									<	
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							VN,												
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OTHER SOURCE(S): MARPAT 139:149640

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PR

AB Substituted quinazolin-4-ylamine analogs (shown as I; variables defined below; e.g. (4-trifluoromethylphenvl)[7-(2trifluoromethylphenyl)quinazolin-4-yl]amine) are provided. Such compds. are ligands that may be used to modulate VR1 capsaicin receptor activity in vivo or in vitro (no data), and are particularly useful in the treatment of conditions associated with pathol. receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compns. and methods for using them to treat such disorders are provided, as are methods for using such ligands for receptor localization studies. For I; V, X, W, Y and Z are each independently N or CR1, with the proviso that at least one of V and X is N; U is N or CR2, with the proviso that if V and X are N, then U is CR2; R1 = H, halogen, hydroxy, amino, C1-C8 alkyl, haloC1-C8alkyl, C1-C8alkoxy, haloC1-C8alkoxy and mono- and di(C1-C8alkyl)amino. R2 = (i) H, halogen, cyano, or -COOH; (ii) C1-C8alkanoyl, C2-C8alkanone, or C1-C8carbamate, each of which is (un) substituted with 1-9 substituents = Rb, or (iii) -Rc-M-A-Ry, wherein: Rc is C0-C3alkyl; M is a bond, N(Rz), O, S, SO2, (C:O)pN(Rz), N(Rz)(C:O)p, SO2N(Rz), or N(Rz)SO2, wherein p is 0 or 1; A is a bond or C1-C8alkyl, (un) substituted with 1-3 Rb. Ry and Rz, if present, are: (a) independently H, C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C6-C10arylC1-C8alkyl, C2-C8alkyl ether, C1-C8alkoxy, a 4- to 10-membered carbocycle or heterocycle, or joined to R1 to form a 4- to 10-membered carbocycle or heterocycle, wherein each Ry and Rz = (un)substituted with 1-9 Rb; or (b) joined to form a 4- to 10-membered carbocycle or heterocycle that is (un)substituted with 1-9 Rb; Ar2 is a 5- to 7-membered aromatic heterocycle, (un) substituted with 1-3 LRa. Ar1 is a 5- to 10-membered aromatic carbocycle or heterocycle, (un)substituted with 1-3 LRa; L = bond, -0-, -C(0)-, -OC(0)-, -C(0)0-, -O-C(0)0-, -S(0)m-, -NRx-,-C(O)NHRx-, -NHRxC(O)-, -NRxS(O)m-, -S(O)mNRx- and -N[S(O)mRx]S(O)m-; wherein m = 0, 1 and 2; and Rx = H and C1-C8alkyl; Ra = (i) H, halogen, cvano and nitro; and (ii) C1-C8alkvl, C2-C8alkenvl, C2-C8alkvnvl, C2-C8alkvl ether, 3- to 10-membered heterocycles, mono- and di(C1-C8alkyl)amino and (3- to 10-membered heterocycle)C1-C6 alkyl, each of which is (un) substituted with 1-9 Rb. Rb = hydroxy, halogen, amino, aminocarbonyl, amido, cyano, nitro, C1-C8alkyl, C1-C8alkoxy, C1-C8alkylthio, C1-C8alkyl ether, hydroxyC1-C8alkyl, haloC1-C8alkyl, Ph, phenyl(C1-C8alkyl), mono and di(C1-C6 alkyl)amino, (SO2)C1-C8alkyl, 5- to 7-membered heterocycle and (5- to 7-membered heterocycle)(C1-C8alkyl). Although the methods of preparation are not claimed, many example prepns. and characterization data for >500 examples of I are included. 573686-39-2P, [2-Pyridin-4-yl-7-(3-trifluoromethylpyridin-2-

[2-Pvridin-3-vl-7-(3-trifluoromethylpvridin-2-vl)quinazolin-4-vl](4trifluoromethylphenyl)amine 573686-41-6P, [2-(6-Methoxypyridin-3-y1)-7-(3-trifluoromethylpyridin-2-y1)quinazolin-4yl](4-trifluoromethylphenyl)amine 573686-42-7P, [2-[6-(Pyrrolidin-1-yl)pyridin-3-yl]-7-(3-trifluoromethylpyridin-2yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)

v1)quinazolin-4-v1](4-trifluoromethylphenyl)amine 573686-40-5P,

(drug candidate and receptor detector; preparation of substituted quinazolin-4-ylamine analogs as VRI capsaicin receptor antagonists for relieving pain and for detecting receptors)

RN 573686-39-2 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridiny1)-N-[4-(trifluoromethy1)pheny1]-7-[3-(trifluoromethy1)-2-pyridiny1]- (CA INDEX NAME)

RN 573686-40-5 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 573686-41-6 CAPLUS

CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 573686-42-7 CAPLUS

CN 4-Quinazolinamine, 2-[6-(1-pyrrolidiny1)-3-pyridiny1]-N-[4-(trifluoromethy1)pheny1]-7-[3-(trifluoromethy1)-2-pyridiny1]- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:472388 CAPLUS

DOCUMENT NUMBER: 139:53030

TITLE: Pyrimidine-based and quinazoline-based compounds

useful as GSK-3 inhibitors
INVENTOR(S): Choquette, Deborah; Davies, Robert J.; Wannamaker,

Marion W.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			KINI	ID DATE			APPLICATION NO.						DATE				
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WO 2003049739				A1		20030619			WO 2002-US39190						20021209 <		
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             PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
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PRIORITY APPLN. INFO.:
                                             US 2001-338857P
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                                             WO 2002-US39190
                                                                 W 20021209 <--
OTHER SOURCE(S):
                        MARPAT 139:53030
GI
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AB The invention provides a compound of formula I or a pharmaceutically acceptable derivative thereof [wherein: Rl = (un)substituted 5- to 6-membered monocyclic or 8- to 10-membered bicyclic (hetero)aryl with 0-4 N/O/S atom(s); Q = (un)substituted Cl-4 alkylene chain with 0-2 non-adjacent CH2 optionally replaced by SO2 or CO; R2 = certain with 0-2 non-adjacent CH2 thienyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ra, Rb = -T-R3; or RaRb = atoms to complete fused, partially saturated or aromatic, 5- to 8-membered ring with 0-3 N/O/S atom(s)

and optionally substituted by oxo, -T-R3, etc.; T = bond or C1-4 alkylene chain; R3 = H, halo, OH or derivs., NH2 or derivs., CN, SH or derivs., CHO or derivs., COZH or derivs., CHO is derivs., CHO or derivs. and prodrugs]. The compds. are inhibitors of protale kinases, particularly GSK-3 (glycogen synthase kinase 3) mammalian protein kinases. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention, and methods of utilizing the compds. and compns. in the treatment of various protein kinase-mediated disorders, such as diabetes, cancer, stroke, and Alzheimer's disease. A table of over 200 compds. I is given in claims. Prepns. of 37 compds. are described in detail. For instance,

 $4{\rm -chloro-2-(2-trifluoromethylphenyl)quinazoline was thermally condensed with 6-(2-aminoethylamino)nicotinonitrile (neat, approx. 140°) to give 49% title compound II. In a test for inhibition of GSK-3B in vitro, 17 compds. I, including II, had Ki < 0.1 <math display="inline">\mu M$ , and 16 compds. had Ki of 0.1 to 1.0  $\mu M$ .

IT 544676-80-4P 544676-92-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of pyrimidine-based compds. useful as GSK-3 inhibitors)

- RN 544676-80-4 CAPLUS
- CN 3-Pyridinecarbonitrile, 6-[[2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]- (CA INDEX NAME)

RN 544676-92-8 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[[2-[[2-(3-pyridiny1)-4quinazoliny1]amino]ethy1]amino]- (CA INDEX NAME)

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:849586 CAPLUS DOCUMENT NUMBER: 137:370099

TITLE: Preparation of 3-aminopyrazolo[3,4-c]pyridazines as inhibitors of glycogen synthase kinase-3 and crystal

structures of gsk-3β protein and protein

complexes

INVENTOR(S): Ter Haar, Ernst; Swenson, Lovorka; Green, Jeremy;

Arnost, Michael J.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 778 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

	KIND DATE	APPLICATION NO.	
	A2 20021107	WO 2002-US13511	
W: AE, AG, AL, CO, CR, CU, GM, HR, HU, LS, LT, LU, PL, PT, RO, UA, UG, US, RW: GH, GM, KE,	AM, AT, AU, AZ, CZ, DE, DK, DM, ID, IL, IN, IS, LV, MA, MD, MG, RU, SD, SE, SG, UZ, VN, YU, ZA, LS, MW, MZ, SD,	BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MN, MN, MX, MZ, SI, SK, SL, TJ, TM, ZM, ZW, SZ, TZ, UG, ZM,	GB, GD, GE, GH, KZ, LC, LK, LR, NO, NZ, OM, PH, TN, TR, TT, TZ, ZW, AM, AZ, BY,
GR, IE, IT, GN, GO, GW,	LU, MC, NL, PT, ML, MR, NE, SN,	BE, CH, CY, DE, DK, SE, TR, BF, BJ, CF, TD, TG	CG, CI, CM, GA,
CA 2444882 AU 2002259071 US 20030125332 US 7390808 EP 1435957	A1 20021107 A1 20021111 A1 20030703 B2 20080624 A2 20040714	CA 2002-2444882 AU 2002-259071 US 2002-135255 EP 2002-729056	20020429 < 20020429 < 20020429 <
IE, SI, LT, JP 2005504731 MM 2003009957 US 20080262205 PRIORITY APPLN. INFO.:	LV, FI, RO, MK, T 20050217 A 20050725 A1 20081023	JP 2002-585380 MX 2003-9957 US 2008-79917 US 2001-287366P US 2001-297094P US 2002-361899P US 2002-35255 WO 2002-US13511	20020429 < 20031030 <
OTHER SOURCE(S):	MARPAT 137:3700	33	

AB Title compds. [I; Rl = H, RCO, RO2C, (substituted) aliphatyl, carbocyclyl, heterocyclyl, heterocyclyl, etc.; R2, R3 = H, (substituted) aliphatyl, carbocyclyl, heterocyclyl, aryl, aralkyl, heteroaryl, heteroaralkyl, NRCO, NR, OR, CF3, halo, NO2, cyano, etc.; R = H, (substituted)

aliphatyl, carbocyclyl, heterocyclyl, aryl, aralkyl, heteroaryl, heteroaralkyl], were prepared Thus, 3-chloro-4-cyano-5,6-diphenylpyridazine was refluxed with NZH4 in EtOH to give

3-amino-4,5-diphenyl-1H-pyrazolo[3,4-c]pyridazine. The latter inhibited gsk-3 with Ki≤0.1 μM.

IT 474381-74-3P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(crystal structure determination; preparation of pyrazolopyridazines as

inhibitors of gsk-3 and crystal structures of gsk-3 $\beta$  protein and protein

complexes)

RN 474381-74-3 CAPLUS CN Kinase (phosphorylat.

Kinase (phosphorylating), glycogen synthetase (human isoenzyme 3\(\beta\)), compd. with N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-4-quinazolinamine (1:1) (901) (CA INDEX NAME)

CM

CRN 474231-10-2

CMF Unspecified

CCI MAN

1

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

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CRN 404828-10-0

CMF C17 H14 N6

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:845560 CAPLUS

DOCUMENT NUMBER: 137:353051

TITLE: Preparation of quinazolines as  $TGF-\beta$  and/or

p38-α kinase inhibitors

John A.

PATENT ASSIGNEE(S): Scios, Inc., USA

SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 6,184,226.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
US 6476031	B1	20021105	US 1999-383825	19990827 <		
US 6184226	B1	20010206	US 1998-141916	19980828		
CN 1152867	С	20040609	CN 1999-811659	19990827 <		
AT 342256	T	20061115	AT 1999-949568	19990827 <		
ES 2274642	Т3	20070516	ES 1999-949568	19990827 <		
US 6277989	B1	20010821	US 2000-525034	20000314 <		
US 20030069248	A1	20030410	US 2001-969936	20011002 <		
US 20020161010	A1	20021031	US 2001-972582	20011005 <		
US 6903096	B2	20050607				
US 20050171123	A1	20050804	US 2005-53121	20050207 <		
US 7345045	B2	20080318				
US 20050220784	A1	20051006	US 2005-136242	20050523 <		
PRIORITY APPLN. INFO.:			US 1998-141916 A2	19980828 <		
			US 1999-383825 A3	19990827 <		
			US 2001-969936 BI	20011002 <		
			US 2001-972582 A3	20011005 <		
OTHER SOURCE(S):	MARPAT	137:353051				

- AB Title compds. I [R3 = (un)substituted aromatic; Ar = (un)substituted monocyclic or polycyclic aromatic; L = S(CR22)m, NR1SO2(CR22)1, SO2(CR22)m, etc.; Z = CR2, N with the provisos that no more than two Z positions in ring A are N and wherein two adjacent Z positions in ring A cannot be N; R2 = H, alkyl, alkenyl, etc.; l = 0-3; m = 0-4; n = 1] and their pharmaceutically acceptable salts were prepared For example, condensation of chloroquinazoline II and 4-aminopyridine afforded claimed quinazoline III. In p38- $\alpha$  kinase inhibition studies, 9-examples of compds. I exhibited IC50 values in the range of 0.1-1.5 µM. Also, the specificity of compds. I for  $p38-\alpha$  was assessed by their ability to inhibit other kinases, e.g., p38-y JNK1, PKA, PKC, PK(PKD), cck2 and EGF-R, with IC50 values ranging from 4.2 - >500 μM. Compds. I are useful anti-inflammatory agents and in the treatment of fibroproliferative diseases.
- 157862-99-2P 474289-44-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinazolines as TGF-  $\!\beta$  and/or p38-α kinase inhibitors)

RN 157862-99-2 CAPLUS

4-Ouinazolinamine, N-phenvl-2-(4-pyridinvl)- (CA INDEX NAME) CN

NHPh

RN 474289-44-6 CAPLUS

CN 4-Quinazolinamine, N, 2-di-4-pyridinyl- (CA INDEX NAME)

80 REFERENCE COUNT: THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:754381 CAPLUS

DOCUMENT NUMBER: 137:279208

TITLE: Preparation of (indazol-5-ylamino)quinazolines as

Rho-kinase inhibitors

INVENTOR(S): Nagarathnam, Dhanapalan; Asgari, Davoud; Shao,

Jianxing; Liu, Xiao-Gao; Khire, Uday; Wang, Chunguang; Hart, Barry; Boyer, Stephen; Weber, Olaf; Lynch, Mark;

Bankston, Donald

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PATENT NO.					KIND		DATE		APPLICATION NO.					DATE				
		0769			A2		2002	1003		WO 2	002-	US86	59		2	0020	322 <	<
WO 2002076976					A3	3 20021212												
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        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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PRIORITY APPLN. INFO.:
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                                                              A 20020924 <--
                                           US 2002-252369
                                           EP 2003-752497
                                                               A3 20030924
                                           WO 2003-US29538
                                                              W 20030924
OTHER SOURCE(S):
                 CASREACT 137:279208; MARPAT 137:279208
```

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [Y = N, CR17, X = alkyl, alkoxy, thioalkoxy, amido, etc.; p = 0-3; a, c = CR5, NR6, etc.; b = CR5, N; A = H, halo, carboxy, cyano, alkoxy, etc.; B = (un)substituted up to 3 times in any position by R5;

R1,6 = H, alkyl; R2-5 = H, alkyl, alkenyl; R17 = H, alkyl, CN with provisions] were prepared For instance, 2,4-Dichloroquinazoline (preparation given) was reacted with 5-aminoindazole (THF/H20, KOAc) to give 2-(N-(1H-indazol-5-yl)amino)-4-chloroquinazoline in 92% yield. This was coupled to 2,4-dichlorophenylboronic acid (ethylene glycol di-Me ether, Pd(dppf)C12, NaHCO3, reflux) to give II. I are rho-kinase inhibitors and are useful for inhibiting tumor growth, treating erectile dysfunction and coronary heart disease.

Coronary meant disease. Alternative search of the coronary meant disease. Alternative search of the coronary meant disease. Alternative search of the coronary meant of the coronary search of the coronary se

(Uses) (nh-kinase inhibitor; preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors)

RN 461037-54-7 CAPLUS

CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)- (CA INDEX NAME)

RN 461037-55-8 CAPLUS

CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 461037-54-7 CMF C20 H13 F N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 461037-80-9 CAPLUS

CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(3-pyridinyl)- (CA INDEX NAME)

RN 461037-81-0 CAPLUS

CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(3-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 461037-80-9 CMF C21 H16 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 461037-82-1 CAPLUS

CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)- (CA INDEX NAME)

RN 461037-83-2 CAPLUS

CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM

CRN 461037-82-1 CMF C21 H16 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 461038-03-9 CAPLUS

CN 4-Quinazolinamine, 7-chloro-N-1H-indazol-5-yl-2-(3-pyridinyl)- (CA INDEX NAME)

RN 461038-04-0 CAPLUS

CN 4-Quinazolinamine, 7-chloro-N-1H-indazol-5-yl-2-(3-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 461038-03-9 CMF C20 H13 C1 N6

CM

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

ANSWER 9 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN 2002:615578 CAPLUS 137:154942

Preparation of novel quinazoline derivatives for preventing or treating inflammatory diseases caused by bacterial DNA

Kisanuki, Sumitsugu; Tomizawa, Hidevuki; Isobe,

Yoshiaki

PATENT ASSIGNEE(S): Japan Energy Corp., Japan

SOURCE:

PCT Int. Appl., 96 pp. CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

Japanese

A1

Ι

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

NO 2002062767 Al 20020815 W0 2002-JP1045 20020207 <-W1: AU, CA, JF, NZ, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE, TR AU 2002230181

20020819 AU 2002-230181 JP 2001-30973

20020207 <--A 20010207 <--

PRIORITY APPLN. INFO.:

WO 2002-JP1045

W 20020207 <--

OTHER SOURCE(S): GI MARPAT 137:154942

R5 NH-X R6 N N N R2

$$Q = \begin{array}{c} Z \\ -P - N \end{array} \qquad \begin{array}{c} Z \\ Y \end{array}$$

AB Disclosed are medicinal compns. for preventing or treating inflammatory diseases caused by bacterial DNA which contain as the active ingredient quinazoline derivs. represented by the following general formula (I) or pharmacol. acceptable salts thereof [wherein R5, R6, R7, R8 = H, substituents selected from a group of substituents A; or two adjacent groups of R5-R8 together represent methylenedioxy or CH:CHCH:CH; wherein substituents A = C1-4 alkyl, halo, OH, C1-4 alkoxy, C1-4 acyloxy, NR13R14 (R13, R14 = H, C1-4 alkyl), NHCOR15 (R15 = H, C1-4 alkyl), Ph, PhO, cyano, C1-4 acyl, CO2H, C2-5 alkoxycarbonyl, CONH2, N-(C1-4 alkyl)carbamoyl, N, N-di(C1-4 alkyl)carbamoyl; R2 = (un)substituted aryl or heteroaryl; n = 0, 1; X = a group of the following general formula -P-NR9R10 or Q; wherein P = (un)branched C2-6 alkylene; R9, R10 = H, C1-4 alkyl, C2-4 hydroxyalkyl, C3-6 alkoxyalkyl; Y = CHR11, O, S, NR12 (wherein R11 = H, C1-4 alkyl, OH, hydroxymethyl, methoxycarbonyl, ethoxycarbonyl; R12 = H, C1-4 alkyl, aryl optionally substituted by substituents A); Z = H or OH when Y = CHR11; Z = H when Y = O, S, or NR12]. Also disclosed are medicinal compns. containing I for preventing or treating autoimmune diseases or diseases caused by excessive production of TNF- $\alpha$  or IL-6. These compds. I inhibit the unusual production of TNF-a or IL-6 of macrophage or monocyte activated by bacterial DNA and are useful for treating or preventing diseases caused by unusual increase in cytokines, e.g. chronic articular rheumatism, systemic lupus erythematosus (SLE), septicemia, inflammatory bowel diseases, osteoarthritis, multiple sclerosis, Behcet's disease, rejection of bone marrow transplant, hepatitis, type II diabetes, atrial myxoma, alc. hepatic cirrhosis, myeloma, and mesangium-proliferative nephritis. Thus, mesylation of 4-(4-hydroxybutylamino)-6,7-dimethoxy-2-(2-naphthyl)quinazoline by

methanesulfonyl chloride and Et3N in CH2Cl2 under ice-cooling for 1 h and at room temperature for 4 h followed by amination with N-(2-methoxyethyl)ethylamine at room temperature at room temperature for 2

davs gave

6,7-dimethoxy-4-(4-(ethyl-(2-methoxyethyl)amino)butylamino)-2-(2-naphthyl)quinazoline (II). II in vitro inhibited the production of  $TNF-\alpha$  in mouse spleen cells with IC50 of 10 nM and that of IL-6 with IC50 of 32 nM.

IT 445401-96-7P 445402-20-0P 445402-21-1P 445402-23-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel quinazoline derivs. for preventing or treating inflammatory diseases caused by bacterial DNA)

RN 445401-96-7 CAPLUS

CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(4-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)

RN 445402-20-0 CAPLUS

CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(3-pyridiny1)-4-quinazoliny1]-N1,N1-dimethyl- (CA INDEX NAME)

NH- (CH2)3-NMe2

RN 445402-21-1 CAPLUS

CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(6-methyl-3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)

RN 445402-23-3 CAPLUS

CN 1,3-Propanediamine, N3-[2-(6-chloro-3-pyridiny1)-6,7-dimethoxy-4-quinazoliny1]-N1,N1-dimethy1- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:504782 CAPLUS

DOCUMENT NUMBER: 137:78968

TITLE: Preparation of aminocarbonylpyrrolidine derivatives as

dipeptidyl peptidase IV inhibitors

INVENTOR(S): Matsuno, Kenji; Ueno, Kimihisa; Iwata, Yasuhiro; Matsumoto, Yuichi; Nakanishi, Satoshi; Takasaki, Kotaro; Kusaka, Hideaki; Nomoto, Yuji; Oqawa, Akira

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 196 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051836	A1	20020704	WO 2001-JP11578	20011227 <
W: AE, AG	AL, AM, A	I, AU, AZ, BA	A, BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR	CU, CZ, DI	E, DK, DM, DZ	Z, EC, EE, ES, FI,	GB, GD, GE, GH,
GM, HR	HU, ID, II	L, IN, IS, JP	, KE, KG, KR, KZ,	LC, LK, LR, LS,
LT, LU	LV, MA, MI	D, MG, MK, MN	I, MW, MX, MZ, NO,	NZ, OM, PH, PL,
PT, RO	RU, SD, SI	E, SG, SI, SK	C, SL, TJ, TM, TN,	TR, TT, TZ, UA,
UG, US	UZ, VN, Y	J, ZA, ZM, ZW	7	
RW: GH, GM	KE, LS, M	W, MZ, SD, SL	L, SZ, TZ, UG, ZM,	ZW, AT, BE, CH,
CY, DE	DK, ES, F	I, FR, GB, GR	R, IE, IT, LU, MC,	NL, PT, SE, TR,
BF, BJ			I, GQ, GW, ML, MR,	
CA 2433090				20011227 <
AU 2002216425				20011227 <
EP 1354882	A1	20031022	EP 2001-271892	20011227 <
R: AT, BE	CH, DE, DI	K, ES, FR, GB	B, GR, IT, LI, LU,	NL, SE, MC, PT,
		I, RO, MK, CY		
US 20040180925	A1	20040916	US 2003-465919	
PRIORITY APPLN. INF	).:		JP 2000-398441	
			JP 2001-261409	
			WO 2001-JP11578	W 20011227 <

OTHER SOURCE(S): MARPAT 137:78968

GI

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV inhibitors)

RN 440099-77-4 CAPLUS

440099-77-4P

CN 2-Pyrrolidinecarbonitrile, 1-[2-[[2-[[2-(4-pyridiny1)-4-quinazoliny1]amino]ethy1]amino]acety1]-, (2S)-, methanesulfonate (1:2) (CA INDEX NAME)

CM 1

CRN 440099-76-3 CMF C22 H23 N7 O

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

IT 380588-03-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV

inhibitors) RN 380588-03-4 CAPLUS

CN 1,2-Ethanediamine, N1-[2-(4-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)

REFERENCE COUNT:

33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

ANSWER 11 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN SSION NUMBER: 2002:220584 CAPLUS (BNT NUMBER: 136:247584

Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease

INVENTOR(S): Bebbington, David; Knegtel, Ronald; Golec, Julian M.
C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 356 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2002022608	A1 20020321	WO 2001-US42152	
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GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR, KZ,	LC, LK, LR,
		MK, MN, MW, MX, MZ, NO,	
		SK, SL, TJ, TM, TR, TT,	TZ, UA, UG,
US, UZ, VN,			
		SL, SZ, TZ, UG, ZW, AT,	
		IE, IT, LU, MC, NL, PT,	
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IIS 6638926	R2 20030320	05 2001 333303	20010314 \
US 20030064981	A1 20031020	US 2001-952836	20010914 <
US 6613776	B2 20030902	00 2001 302000	
US 20030064982	A1 20030403	US 2001-952875	20010914 <
US 7473691	B2 20090106		
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US 6660731	B2 20031209		
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US 6696452	B2 20040224		
US 20030083327	A1 20030501	US 2001-952833	20010914 <
US 6610677	B2 20030826		
EP 1317452	A1 20030611	EP 2001-977779	20010914 <
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US 7115739	B2 20061003		
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US 7098330	B2 20060829		
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AT 327990	T 20060615	AT 2001-970969 AT 2001-970971 AT 2001-971082 AT 2001-973050	20010914 <
AT 327992	T 20060615	AT 2001-971082	20010914 < 20010914 <
AT 327991	T 20060615	AT 2001-973050	20010914 <
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EC 2266258	T3 20001213	ES 2001-973210	20010914 <
ES 2266259	T3 20070301	PT 2001-971082 AT 2001-975210 ES 2001-970971 ES 2001-971082	20010914 <
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110	7427601		WI	20040020	05	2004-	//365	,,		2	0040	210	\
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JP	2005097322		A	20050414	JP	2004-	36692	62		2	UU41	217	<
US	20070270444		A1	20071122	US	2006-	36922	20		21	0060	306	<
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ΑU	2006201229		A1	20060413	AU	2006-	20122	29		2	0060	321	<
ΑU	1702220 R: AT, BE, R: AT, BE, IE, ST, 2003001697 2003001700 2003001700 2003001704 2003001704 2003001698 20030001704 2003001698 2003000249 20030004470 2003004471 2003004473 2003004474 2003005610 2003002704 2003004175 2003004175 2003004175 2003004175 2003004175 2003004175 2003004175 2003004175 2003004175 2003004175 2003004175 2003005610 20040224944 7008948 200401257893 200401257898 200401257893 20040127893 2006201229 2006201229 2006201229 2006201229 2006201229 2006201229 2006201229 2006201229 2003001700 2003001700 200301700 200301700 200301700 200301700 200301700 200301700 20030004770 20030004770 200401700		B2	20081120									
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OTHER SOURCE(S):	Manna	136:247584	AU	2006-201396	A3	20060404

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from arvl, heteroarvl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un) substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR60CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially

ΤТ

inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidiny1)pyrazolamines and indazolamines I (wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CR9; Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidiny1)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.

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(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease)

- RN 404827-24-3 CAPLUS
- CN 4-Quinazolinamine, 2-(2-chloro-3-pyridiny1)-N-(5,7-difluoro-1H-indazol-3yl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

- RN 404828-10-0 CAPLUS
- CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

- RN 404828-11-1 CAPLUS
- CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

RN 404828-12-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-37-1 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-45-1 CAPLUS

CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)

RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220583 CAPLUS

DOCUMENT NUMBER: 136:247583

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease
INVENTOR(S): Davies, Robert: Bebbingtor

Davies, Robert; Bebbington, David; Knegtel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia;

Pierce, Albert; Kay, David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 373 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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(Uses)

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroarv1, heterocyclv1, or carbocyclv1; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un) substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR60CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as

inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein 21 and 22 = N; 23 = CRx; 24 = CRy; G = Ring C]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu M$  for glycogen synthetase kinase  $3\beta$  (GSK-3B) and 0.1-1.0  $\mu M$  for Aurora-2.

IT 40482T-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-31-1P, (6-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-45-1P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-24-3 CAPLUS

CN 4-Quinazolinamine, 2-(2-chloro-3-pyridiny1)-N-(5,7-difluoro-1H-indazol-3-y1)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-10-0 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-11-1 CAPLUS

CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

RN 404828-12-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-37-1 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-45-1 CAPLUS

CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4pvridinvl) - (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE REFERENCE COUNT: THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS

RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220582 CAPLUS

DOCUMENT NUMBER: 136:247582

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease

Bebbington, David; Binch, Hayley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan;

Wannamaker, Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA CODEN: PIXXD2

SOURCE: PCT Int. Appl., 355 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1.4

PATENT INFORMATION:

INVENTOR(S):

PATENT NO. KIND DATE APPLICATION NO. DATE

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(Uses)

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroarv1, heterocyclv1, or carbocyclv1; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un) substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR60CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as

inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein 21 and 22 = N; 23 = CRx; 24 = CRy; G = Ring D]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu M$  for glycogen synthetase kinase  $3\beta$  (GSK-3B) and 0.1-1.0  $\mu M$  for Aurora-2.

IT 40482<sup>T</sup>-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-31-2P, (5-Methyl-2H-pyrazol-3-yl)amine 404828-37-1P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

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CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)

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REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

7 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220581 CAPLUS

DOCUMENT NUMBER: 136:247581

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease

INVENTOR(S): Golec, Julian M. C.; Charrier, Jean-Damien; Knegtel, Ronald; Bebbington, David; Davies, Robert; Li, Pan

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

FAIRNI ASSIGNED (3): Vertex Findinaceuticals incorporated, (

SOURCE: PCT Int. Appl., 357 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14 PATENT INFORMATION:

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OTHER SOURCE(S): GI MARPAT 136:247581

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Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted
AB
    Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl;
     Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl,
     heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3
     = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken
     together with their intervening atoms form an (un)saturated fused ring having
     1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a =
     (un) substituted fused ring containing 0-3 heteroatoms; T = a bond or
     alkylidene chain; W = C(R6)20, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO,
     CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6,
     C(R6) 2NR6SO2NR6, C(R6) 2NR6CONR6, or CONR6; R = H or (un) substituted
     aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR,
     CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR,
     NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2,
     NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2,
     or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =
     independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl
     or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR,
     COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially
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inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Zl = N or CR9; Z2 = N or CR; Z3 = N), at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-B3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu\rm M$  for glycogen synthetase kinase  $3\beta$ 

404827-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2In-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2In-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-45-1P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-45-1P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

IΤ

(Uses) (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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- RN 404828-12-2 CAPLUS
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RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4pyridinyl) - (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN 2002:220580 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 136:247606

TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole

derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes

and Alzheimer's disease.

INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley;

Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 357 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT: 14 PATENT INFORMATION:

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AU 2001-94558

AU 2001-96871

AU 2001-96875

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JP 2002-551562

JP 2002-559414

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US 2001-34683

IN 2003-KN795 US 2003-624800

US 2004-775699

AU 2006-201396

C1

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Н

# MARPAT 136:247606

OTHER SOURCE(S):

91

R5

Н

CHR

III

The preparation of title compds. I and their pharmaceutically acceptable salts AB or prodrugs is described [wherein: R1, R2 = dependently form (un) substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (arvl, heteroarvl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3β (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

T 404827-24-3P 404828-10-0P 404828-11-1P 404828-12-2P 404828-37-1P 404828-45-1P 404828-50-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

RN 404827-24-3 CAPLUS

CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3yl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-10-0 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

RN 404828-11-1 CAPLUS

CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-12-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-37-1 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-45-1 CAPLUS

CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L7 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220579 CAPLUS

DOCUMENT NUMBER: 136:247580

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

APPLICATION NO

DATE

and Alzheimer's disease

INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

KIND DATE

SOURCE: PCT Int. Appl., 406 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14 PATENT INFORMATION: DATENT NO

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IN 2003-KN795 A3 20030619 US 2003-624800 A3 20030722 US 2004-775699 A1 20040210 AU 2006-201396 A3 20060404

MARPAT 136:247580

OTHER SOURCE(S):

R2? NH NN 23 22 24 21 G I

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted AB Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un) substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially

inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N, Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of  $<0.1\ \mu$ M for dlycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and  $0.1-1.0\ \mu$ M for Aurora-2.

IT 404827-24-3P. [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P,

(5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine
404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2Hpyrazol-3-yl)amine 404828-12-2P,

(6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine
404828-37-1P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-

4-yl)amine 404828-45-1P,

(ZH-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P (ZH-Pyrazol-2-yl)(2-pyridin-4-ylquinazolin-4-yl)amine RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-24-3 CAPLUS

CN 4-Quinazolinamine, 2-(2-chloro-3-pyridiny1)-N-(5,7-difluoro-1H-indazol-3-y1)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-10-0 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-11-1 CAPLUS

CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

RN 404828-12-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-37-1 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-45-1 CAPLUS

CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)

RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220578 CAPLUS

136:263164

DOCUMENT NUMBER: TITLE:

Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and

Alzheimer's disease

INVENTOR(S): Bebbington, David; Knegtel, Ronald; Binch, Haley; Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 377 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022602	A2	20020321	WO 2001-US42162	20010914 <

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OWNED COMPORIOR	143 DD3 5	126.262164				

GI

OTHER SOURCE(S): MARPAT 136:263164

AB Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)280-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un) substituted aliphatic, (hetero) aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazoliny1)-1H-1,2,4-triazol-3-amine III was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$ (GSK-3β) and 1.0-20 μM for Aurora-2.

III

17 404827-24-3P, [2-(2-Chloropyridin-3-yl]quinazolin-4-yl][5,7Difluoro-HH-indazol-3-yl]amine 404828-10-0P,
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4-yl)amine 404828-45-1P,

(2H-Pyrazol-3-y1) (2-pyridin-4-y1quinazolin-4-y1)amine 404828-50-8P

, (5-tert-Buty1-2H-pyrazo1-3-y1)(2-pyridin-4-ylquinazolin-4-yl)amine 404889-58-3P 404891-18-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-24-3 CAPLUS

CN 4-Quinazolinamine, 2-(2-chloro-3-pyridiny1)-N-(5,7-difluoro-1H-indazol-3yl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-10-0 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-11-1 CAPLUS

CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

RN 404828-12-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-37-1 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-45-1 CAPLUS

CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)

RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404889-58-3 CAPLUS

CN 4-Quinazolinamine, 2-(4-chloro-3-pyridinyl)-N-(3-methyl-1H-1,2,4-triazol-5-yl)- (CA INDEX NAME)

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404891-18-5 CAPLUS

4-Quinazolinamine, N-(3-methyl-1H-1,2,4-triazol-5-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

RN

CN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220577 CAPLUS
DOCUMENT NUMBER: 136:247579

DOCUMENT NUMBER: 136:247579
TITLE: Preparation of pyrazolam:

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease

INVENTOR(S): Knegtel, Ronald; Bebbington, David; Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien;

Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2

Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

DOCUMENT TYPE:

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PT 131897 T 20001031 PT 2001-971082
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CA 243223 C 2008520
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US	2001-34683	A1	20011220	<
IN	2003-KN795	A3	20030619	
US	2003-624800	A3	20030722	
US	2004-775699	A1	20040210	
AU	2006-201396	A3	20060404	

OTHER SOURCE(S): MARPAT 136:247579

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph. pyridinyl, pyrimdinyl, pyriadinyl, pyriadinyl, pyriadinyl, pyridinyl, pyrid

COZR, COCOR, COCHECOR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SOZN(R4)2, OCOR, NRACOR, NRACOZ, Alphatic), NRAHN(R4)2, CNN(R4)2, CNOR, NRACOC(R4)2, NRASOZN(R4)2, NRASOZN(R4)2, NRASOZN, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SOZR7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COZR, C

inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyraxolamines and indazolamines I [wherein 21 = N, CRa, or CH; 22 = N or CH; and at least one of 21 or 22 = N; 23 = CRx; 24 = CRy; Ra = halo, OR, COR, COR, COCOR, NOZ, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, COCR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bloassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-33) and 0.1-1.0  $\mu$ M for Aurora-2.

II 404827-24-3P, [2-(2-Chloropyridin-3-yl]quinazolin-4-yl](5,7Difluoro-IH-indazol-3-yl]namine 404828-10-0P,
(5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine
404828-11-IP, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2Hpyrazol-3-yl)amine 404828-12-2P,
(6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine
404828-37-IP, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin4-yl)amine 404828-45-IP,
(2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
404828-50-8P,
(5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity), SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses) (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-24-3 CAPLUS

as

CN

4-Quinazolinamine, 2-(2-chloro-3-pyridiny1)-N-(5,7-difluoro-1H-indazol-3-y1)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-10-0 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

RN 404828-11-1 CAPLUS

CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-12-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-37-1 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-45-1 CAPLUS

CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:158388 CAPLUS

DOCUMENT NUMBER: 136:200203

TITLE: Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions

INVENTOR(S): Pamukcu, Rifat; Piazza, Gary

CvB- (R3)<sub>m</sub> I

PATENT ASSIGNEE(S):

SOURCE: U.S. Pat. Appl. Publ., 23 pp., Cont. of U.S. Ser. No.

60,444, abandoned. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020025968	A1	20020228	US 2001-952769	20010914 <
PRIORITY APPLN. INFO.:			US 1998-60444 B1	19980415 <
OTHER SOURCE(S):	MARPAT	136:200203		

$$\begin{array}{c|c} & \text{OMe} \\ & \text{HN} & \text{OMe} \\ & \text{N} & \text{N} \\ & \text{N} & \text{II} \end{array}$$

AB Title compds. I [wherein Rl = H or alkyl; Y = alkylene; A = ORa or S(O)PAg; Ra = alkylhydroxy; p = 0-2; Z = single bond, methylene, ethylene, vinylene, or ethynylene; CyB = heterocyclic ring; R3 = H, alkyl, alkoxy, halo, or CF3; R4 = H, alkyl, alkoxy, CO2H, carboxy ester, alkanoylamino, alkylsulfonylamino, alkylsulfonyl, ethynyl, hydroxymethyl, acetyl, or (un)substituted sulfamoyl, carbamoyl, etc.; m and n = independently 1-2; or pharmaceutically acceptable salts or hydrates thereof] were prepared for inhibiting neoplastic cells and related conditions. For example, amination of 2,4-dichloro-6-(2-triethylsilylethynyl)quinazolin-2,4-dione (preparation given) with 2-methoxyethylamine in CHCl3, followed by addition of imidazole in EtCH and deprotection using NBu4F, afforded II. I are useful in the treatment of precancerous and cancerous lesions, including malignant melanoman

breast cancer, and colon cancer (no data). 157862-81-2 157862-82-3 157862-83-4

157862-85-6 157862-87-8 157862-88-9 157862-91-4 157862-94-7 157862-96-9

157862-99-2 157863-06-4 157863-12-2

157863-15-5 157863-17-7 157863-19-9

1102370-19-3 1102370-20-6 1102370-44-4 RL: PRPH (Prophetic)

(Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions)

RN 157862-81-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-82-3 CAPLUS

CN Benzoic acid, 3-[[2-(3-pyridiny1)-4-quinazoliny1]amino]-, methyl ester (CA INDEX NAME)

RN 157862-83-4 CAPLUS

CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)

RN 157862-85-6 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridiny1)-N-(2-thienylmethy1)-, hydrochloride (1:2) (CA INDEX NAME)

## ●2 HC1

RN 157862-87-8 CAPLUS

CN 4-Quinazolinamine, N-[(3-chloropheny1)methy1]-2-(3-pyridiny1)-, hydrochloride (1:2) (CA INDEX NAME)

RN 157862-88-9 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

RN 157862-91-4 CAPLUS

CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

RN 157862-94-7 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 157862-96-9 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-,
hydrochloride (1:2) (CA INDEX NAME)

- RN 157862-99-2 CAPLUS
- CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)

- RN 157863-06-4 CAPLUS
- CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- RN 157863-12-2 CAPLUS
- ${\tt CN-4-Quinazolinamine,\ N-(cyclopropylmethyl)-2-(3-pyridinyl)-,\ hydrochloride}$

- ●2 HC1
- RN 157863-15-5 CAPLUS
- CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-y1)ethyl]-2-(3-pyridinyl)-(CA INDEX NAME)

- RN 157863-17-7 CAPLUS
- CN 4-Quinazolinamine, N-[(3-nitropheny1)methy1]-2-(3-pyridiny1)-, hydrochloride (1:2) (CA INDEX NAME)

RN 157863-19-9 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

### ●2 HC1

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 157863-22-4 CAPLUS

CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

- RN 157863-99-5 CAPLUS
- CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridiny1)-4-quinazoliny1]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)

NH-CH2-CH2-NMe2

- ●3 HC1
- RN 1102370-06-8 CAPLUS
- CN 4-Quinazolinamine, N,6-dimethyl-N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)

- RN 1102370-08-0 CAPLUS
- CN 4-Quinazolinamine, 6,7-dimethoxy-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 1102370-09-1 CAPLUS
- CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 1102370-10-4 CAPLUS

- ●2 HC1
- RN 1102370-11-5 CAPLUS

- ●2 HC1
- RN 1102370-12-6 CAPLUS
- CN 1,4-Benzenediamine, N1,N1,N4-trimethyl-N4-[2-(3-pyridinyl)-4-quinazolinyl], hydrochloride (1:3) (CA INDEX NAME)

- ●3 HC1
- RN 1102370-13-7 CAPLUS
- CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 1102370-14-8 CAPLUS
- CN 4-Quinazolinamine, 2-(6-chloro-3-pyridiny1)-N-methy1-N-pheny1- (CA INDEX NAME)

RN 1102370-17-1 CAPLUS

CN 4-Quinazolinamine, 6-bromo-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 1102370-18-2 CAPLUS

CN 4-Quinazolinamine, N-methyl-6-nitro-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

CN

RN 1102370-19-3 CAPLUS

4-Quinazolinamine, 6-iodo-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

# ●2 HC1

- RN 1102370-20-6 CAPLUS
- CN 4-Quinazolinamine, 6-fluoro-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

### ● 2 HC1

- RN 1102370-44-4 CAPLUS
- CN 4-Quinazolinamine, 6-chloro-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

# ●2 HC1

- IT 171661-62-4P, 6-Chloro-4-(2-Ethoxyethyl)Amino-2-(3-Pyridyl)Quinazoline
  - RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(antineoplastic agent; preparation of aminoquinazolines for use in inhibiting neoplastic cells and related conditions)

- RN 171661-62-4 CAPLUS
- CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)- (CA INDEX

IT 157862-69-6P, 4-Phenylmethylamino-7-Fluoro-2-(3-Pyridyl)Quinazoline 157862-70-9P,

4-Phenylmethylamino-7-Fluoro-2-(3-Pyridyl)Quinazoline Dihydrochloride 17:83-23-5P, 6-Acetylamino-4-Phenylmethylamino-2-(3-Pyridyl)Quinazoline 401520-93-2P,

6-Chloro-4-[(2-ethoxyethy1)amino]-2-(3-pyridy1)quinazoline hydrochloride RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antineoplastic agent; preparation of aminoquinazolines for use in inhibiting neoplastic cells and related conditions)

RN 157862-69-6 CAPLUS

CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-70-9 CAPLUS

CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

NH-CH2-Ph

### ●2 HC1

RN 157863-23-5 CAPLUS

CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]-(CA INDEX NAME)

NH-CH2-Ph

RN 401520-93-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)

NH-CH2-CH2-OEt

### HC1

- 157863-09-7, 4-Phenylmethylamino-6-nitro-2-(3-pyridyl)quinazoline RL: RCT (Reactant); RACT (Reactant or reagent) (reactant; preparation of aminoquinazolines for use in inhibiting neoplastic cells and related conditions)
- 157863-09-7 CAPLUS RN
- 4-Ouinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

ANSWER 20 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

135:344500

ACCESSION NUMBER: 2001:816643 CAPLUS DOCUMENT NUMBER:

TITLE: Preparation of condensed heteroaryl derivatives as

phosphatidylinositol 3-kinase inhibitors and

anticancer agents

INVENTOR(S): Hayakawa, Masahiko; Kaizawa, Hiroyuki; Moritomo, Hiroyuki; Kawaguchi, Ken-ichi; Koizumi, Tomonobu; Yamano, Mayumi; Matsuda, Koyo; Okada, Minoru; Ohta,

Mitsuaki

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Ludwig Institute for Cancer Research; Imperial Cancer

Research Technology Ltd.

SOURCE: PCT Int. Appl., 84 pp.

### CODEN: PIXXD2 Patent Japanese

LANGUAGE: Ja
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

DOCUMENT TYPE:

KIND DATE APPLICATION NO. DATE PATENT NO. WO 2001083456 A1 20011108 WO 2001-JP3650 20010426 <--W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG CA 2407593 A1 20011018 CA 2001-2407593 20010426 <-AU 2001052610 A 20011112 AU 2001-52610 20010426 <-US 20020151544 A1 20021017 US 20001-843615 20010426 <-US 6608053 B2 20030819
EP 1277738 A1 20030122 EP 2001-925981 20010426 <--R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR CN 1186324 C 20050126 CN 2001-808654 20010426 <--B2 20050518 JP 2001-580885 A 20050622 CN 2004-10055760 C 20071031 B1 20030819 US 2002-243416 B1 20071108 KR 2002-714412 JP 3649395 20010426 <--CN 1629145 20010426 <--CN 100345830 US 6608056 20020913 <--20021025 <--KR 774855 RR 7/4855 B1 20071108
VS 20030236271 A1 20031225
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US 7037915 B2 20060502 US 2003-459002 20030610 <--US 2003-459220 20030610 <--US 2004-918094

JP 2005120102 A 20050502

JP 3810017 B2 20060816

US 2006095821 A1 20060816

US 7173029 B2 20070206

US 20070037805 A1 20070215

PRIORITY APPLN. INFO:: 20040813 <--20041116 <--20051014 <--US 2006-544144 20061006 <--JP 2000-128472 A 20000427 <--US 2000-200537P P 20000427 <--US 2000-200481P P 20000428 <--US 2006-544144 US 2000-200481F P 20000428 <-The 2001-580885 A3 20010426 <-US 2001-843615 A3 20010426 <-US 2001-JP3650 W 20010426 <-US 2002-243416 A3 20020913 <-US 2003-459002 A1 20030610
US 2004-918094 A1 20040813
US 2005-250782 A1 20051014

OTHER SOURCE(S): MARPAT 135:344500

ĠΙ

AB The title compds, e.g. I (n = 0 - 3; Rl = alkyl, etc.; R2, R3 = H, alkyl, etc; further detail on R2 and R3 is given; R4 = (un)substituted aryl, etc.; X = N, CH; Y = O, S, NH1, are prepared Several compds. of this invention in vitro showed IC50 values of ≤ 1 μM against phosphatidylinositol 3-kinase (pl10 a subtype). The antitumor

activity of compds. of this invention is also demonstrated. 371939-28-5P

RL: BAC Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of condensed heteroaryl derivs. as phosphatidylinositol 3-kinase inhibitors and anticancer agents)

RN 371939-28-5 CAPLUS

CN 6-Quinazolinol, 4-(4-morpholinyl)-2-(3-pyridinyl)- (CA INDEX NAME)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:441612 CAPLUS

DOCUMENT NUMBER: 133:63991

TITLE: cGMP phosphodiesterase 5 inhibitors for inhalation in

the treatment of sexual dysfunction INVENTOR(S): Naef, Reto

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	I NOI	NO.		D.	ATE		
						-												
WO	2000	0370	61		A2		2000	0629		WO 1	999-	EP10:	250		1	9991:	221 -	<
WO	2000	0370	61		A3		2000	1026										
	W:	AE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	
		CZ,	DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	

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IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
             MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
             SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2355368
                                             CA 1999-2355368
                          A1
                                                                     19991221 <--
     EP 1140044
                          A2
                                             EP 1999-964644
                                                                     19991221 <--
     EP 1140044
                          В1
                                20060315
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, CY
     JP 2002532542
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                                20021002
                                             JP 2000-589172
                                                                     19991221 <--
     AT 320247
                          Т
                                20060415
                                             AT 1999-964644
                                                                     19991221 <--
     PT 1140044
                          т
                                20060731
                                             PT 1999-964644
                                                                     19991221 <--
     ES 2260952
                          Т3
                                20061101
                                             ES 1999-964644
                                                                     19991221 <--
     US 20010055570
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                                             US 2001-883572
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                                                                     20010618 <--
                                             US 2004-851603
     US 20040214831
                          A1
                                20041028
                                                                     20040521 <--
     US 20070197560
                          A1
                                20070823
                                             US 2006-644659
                                                                     20061222 <--
PRIORITY APPLN. INFO.:
                                             GB 1998-28340
                                                                    19981222 <--
                                             WO 1999-EP10250
                                                                    19991221 <--
                                             US 2001-883572
                                                                 A1 20010618 <--
     Treatment of sexual dysfunction is carried out by inhalation of a cGMP PDE
```

AB Treatment of sexual dysfunction is carried out by inhalation of a GGMP PDE 5 inhibitor, especially, 5-[2-ethoxy-5-(4-methylpiperazinylsulfonyl)phenyl]-1-methyl-3-n-propyl-1,6-dihydro-7H-pyrazolo[4,3-d]pyrimidin-7-one (I), 4-phenylmethylamino-6-chloro-2-(1-imidazolyl)quinazoline, 4-phenylmethylamino-6-chloro-2-(3-pyridyl)quinazoline,

1,3-dimethyl-6-(2-propoxy-5-methanesulfonylamidophenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one or

1-cyclopenty1-3-ethy1-6-(3-ethoxy-4-pyridy1)pyrazolo[3,4-d]pyrimidin-4one. Gelatin capsules suitable for use in a capsule inhaler are prepared,
each capsule containing a dry powder consisting of 10 mg I, which had been
ground to a mean particle diameter of 1-5 µm, and 10 mg of lactose

ground to a mean particle diameter of 1-5 µm, and 10 mg of lactose monohydrate having a particle diameter below 212 µm. These capsules are used in the treatment of erectile dysfunction patients by inserting a capsule into the capsule chamber of an inhaler.

IT 157862-73-2

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USSS (Uses) (CGMP phosphodiseterase inhibitors for inhalation in treatment of sexual dysfunction)

RN 157862-73-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

NH-CH2-Ph

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:161275 CAPLUS

DOCUMENT NUMBER: 132:194387
TITLE: Preparation

Preparation of quinazolines as p38-α kinase and

TGF-β inhibitors

John A.

PATENT ASSIGNEE(S): Scios Inc., USA SOURCE: PCT Int. Appl.,

SOURCE: PCT Int. Appl., 48 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.								APPLICATION NO.						DATE		
WO				A2 20000309			WO 1999-US19846						19990827 <				
	W:	IN, PL,	IS, RO,	JP, SG,	KP, SI,	KR, SK,	BG, LC, TR,	LK,	LR,	LT,	LV,	MG,	MK,	MN,	MX,	NO,	NZ,
	RW:	GH, ES,	GM, FI,	KE, FR,	GB,	MW, GR,	SD, IE, ML,	IT,	LU,	MC,	NL,	PT,					
	61842	226			В1		2001	0206		US 1	998-	1419	16 250		11	9980	828 827 <
																	827 <
	11079	959			B1		2006	1011									827 <
		IE,	SI,	LT,	LV,	FI,	RO,	CY									PT,
BR JP CN	99136 20025 11528 34225	548 5235 867	02		A T C		2002 2002 2004	0102 0730 0609		JP 2 CN 1	999-	5675: 8116:	25 59		1	9990	827 < 827 < 827 <
ES MX	22746 20010 10358	542 0021	75		Т3		2007	0516 0714		ES 1 MX 2	999- 001-	9495 2175	68		1	9990	827 < 827 < 228 <
RIORITY			INFO	.:								1419 US19					828 < 827 <

OTHER SOURCE(S): MARPAT 132:194387

AB Title compds. [I; R = ZR1; R1 = (un)substituted cyclic (hetero)aliphatic group, -(hetero)aryl; R3 = noninterfering substituent (sic); R4R5 = atoms to complete a 6-membered aromatic ring containing 0, 1, or 2 nonadjacent N atoms

and noninterfering substituent(s) (sic); z = bond or linker (sic); z = CRZ or N; R2 = noninterfering substituent (sic)] were prepared Thus, prepn of, e.g., 4-(4-pyridinylamino)-2-phenylquinazoline was described. Data for biol. activity of I were given.

IT 157862-99-2 474289-44-6

RL: PRPH (Prophetic)

(Preparation of quinazolines as  $p38-\alpha$  kinase and TGF- $\beta$ inhibitors)

157862-99-2 CAPLUS RN

CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)

RN 474289-44-6 CAPLUS

CM 4-Quinazolinamine, N, 2-di-4-pyridinyl- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:567069 CAPLUS DOCUMENT NUMBER:

125:221856 ORIGINAL REFERENCE NO.: 125:41465a,41468a

TITLE: Preparation of quinazoline derivatives as adrenergic

alC receptor antagonists

INVENTOR(S): Andrews, Robert Carl; Brown, Peter Jonathan; Deaton, David Norman; Drewry, David Harold; Foley, Michael

Andrew; Garrison, Deanna T.; Marron, Brian Edward; Smalley, Terrence L.; Berman, Judd M.; Noble, Stewart

Alvwvn PATENT ASSIGNEE(S): Glaxo Inc, USA

SOURCE: Brit. UK Pat. Appl., 190 pp.

CODEN: BAXXDU DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2295387	A	19960529	GB 1994-23635	19941123 <
PRIORITY APPLN. INFO.:			GB 1994-23635	19941123 <
OTHER SOURCE(S):	MARPAT	125:221856		

- AB Title compde. [I R = 21Z2 = R4; R1 = H, halo, alkyl, alkoxy, etc.; R4 = H, (di)(alkyl)amino, phenyl(oxy), etc.; R5,R6 = H, OH, halo, alkyl, alkoxy; Z1 = NH, 2-(piperazine-1,4-diyl)ethylimino, iminopyridine-5,2-diylimino, etc.; Z2 = bond, (un)substituted alkylene] were prepared as adrenergic all receptor antagonists (no data). Thus, 4-chloro-2-phenylquinazoline was aminated by 4-amino-1-benzylpiperidine and the deprotected product N-alkylated by 5-(2-chloroethyl)-2-methoxybenzenesulfonamide (preparation given) to give title
- compound II.
  II 181113-88-2P
  RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
  - BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of quinazoline derivs. as adrenergic  $\alpha$ IC receptor antagonists)
- RN 181113-88-2 CAPLUS
- CN Benzenesulfonamide, 2-methoxy-5-[2-[4-[2-(4-pyridiny1)-4-quinazoliny1]-1-piperaziny1]ethy1]- (CA INDEX NAME)

DOCUMENT NUMBER: 124:29779 ORIGINAL REFERENCE NO.: 124:5715a

ORIGINAL REFERENCE NO.: 124:5715a,5718a
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cGMP

phosphodiesterase and TXA2 synthetase

INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 76,431,

abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
US 5439895	A	19950808	US 1993-154691	19931119 <		
JP 06192235	A	19940712	JP 1993-197039	19930714 <		
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <		
KR 191416	B1	19990615	KR 1993-13549	19930715 <		
AT 208771	T	20011115	AT 1993-305557	19930715 <		
ES 2167325	T3	20020516	ES 1993-305557	19930715 <		
PT 579496	T	20020531	PT 1993-305557	19930715 <		
JP 08099962	A	19960416	JP 1995-264667	19950920 <		
JP 2923742	B2	19990726				
PRIORITY APPLN. INFO.:			US 1992-913473 B	2 19920715 <		
			US 1993-76431 B	2 19930614 <		
OTHER SOURCE(S): GI	MARPAT	124:29779				

AB The compds. of the formula I and acid addition salts thereof, salts thereof, and hydrates thereof wherein Rl is hydrogen or Cl-4 alkyl; Y is Cl-6 alkylene; A is ORO or S(O)pRO, in which RO is Cl-4 alkyl-hydroxy; p is O-2; Z is single bond, methylene, ethylene, vinylene or ethynylene; CyB is (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing

II

as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two

three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atom, one nitrogen atom, (4) 4- or 5-membered, unsatd. or partially saturated, monocyclic hetero ring containing

as hetero atoms, one, two or three nitrogen atoms, or (5) 4-7 membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero

atoms, one or two oxygen atoms, or one or two sulfur atoms; R3 = e.g., H, C1-4

alkyl, Cl-4 alkoxy; R4 = e.g., H, Cl-4 alkyl, Cl-4 alkoxy; and m and n independently are l or 2; with the proviso that (1) a CyB ring does not bond to Z through a nitrogen atom in the CyB ring when Z is vinylene or ethynylene, have inhibitory effect on cGMP-PDB, and addnl. on TXA2 synthetase. Thus, e.g., 2-(1-imidazolyl)-4-[2-(2-)]

hydroxyethoxy)ethyl]amino-6-ethynylquinazoline.2EC1 (II.2EC1) (prepared by desilylation of a silylacetylene precursor) exhibited inhibitory effect on cGMP-PDE and TXA2 synthetase with IC50 = 4.6 + 10-8 M and 1.33

+ 10-6 M, resp. Pharmaceutical formulations were given.

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+ 10-6 M, resp. Pharmaceutical formulati: 157862-69-6P 157862-70-9P 157862-71-0P 157862-71-0P 157862-72-1P 157862-72-1P 157862-72-1P 157862-73-2P 157862-73-4P 157862-73-4P 157862-76-9P 157862-76-9P 157862-80-1P 157862-81-2P 157862-82-89-1P 157862-81-2P 157862-85-6P 157862-86-7P 157862-81-8P 157862-88-9P 157862-98-90 157862-90-3P 157862-91-6P 157863-00-6P 157863-08-6P 157863-11-1P 157863-11-2P 157863-11-3P 157863-11-4P 157863-11-2P 157863-13-3P 157863-11-4P
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157863-15-5P 157863-16-6P 157863-17-7P 157863-18-8P 157863-19-9P 157863-20-2P 157863-21-3P 157863-22-4P 157863-23-5P

157863-99-5P 171661-62-4P 171661-63-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase) 157862-69-6 CAPLUS

RN 157862-69-6 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

NH-CH2-Ph

RN 157862-70-9 CAPLUS

CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 157862-71-0 CAPLUS

CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

NH-CH2-Ph

RN 157862-72-1 CAPLUS

CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

NH-CH2-Ph

●2 HC1

RN 157862-73-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-74-3 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

•2 HC1

RN 157862-75-4 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-76-5 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 157862-77-6 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)- (CA INDEX NAME)

RN 157862-78-7 CAPLUS

●2 HC1

RN 157862-79-8 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

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RN 157862-80-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)
(CA INDEX NAME)

●2 HC1

RN 157862-81-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-82-3 CAPLUS

CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)

RN 157862-83-4 CAPLUS

CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)

RN 157862-84-5 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)

RN 157862-85-6 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridiny1)-N-(2-thienylmethy1)-, hydrochloride (1:2) (CA INDEX NAME)

- RN 157862-86-7 CAPLUS
- CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

- RN 157862-87-8 CAPLUS

- ●2 HC1
- RN 157862-88-9 CAPLUS
- CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

RN 157862-89-0 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)

- ●3 HC1
- RN 157862-90-3 CAPLUS
- CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-91-4 CAPLUS CN 4-Ouinazolinamine, N

4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

## ●2 HC1

RN 157862-92-5 CAPLUS

CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-93-6 CAPLUS

 ${\tt CN-4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, \ hydrochloride \ (1:2) }$ 

(CA INDEX NAME)

●2 HC1

RN 157862-94-7 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 157862-95-8 CAPLUS

CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)

# ●3 HC1

RN 157862-96-9 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

RN 157862-97-0 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)

RN 157862-98-1 CAPLUS

 ${\tt CN-4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, \ hydrochloride \ (1:2) }$ 

(CA INDEX NAME)

## ●2 HC1

- RN 157862-99-2 CAPLUS
- CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)

- RN 157863-00-8 CAPLUS
- CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-(phenylmethyl)- (CA INDEX NAME)

- RN 157863-05-3 CAPLUS
- CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3pyridinyl)- (CA INDEX NAME)

- RN 157863-06-4 CAPLUS
- CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 157863-07-5 CAPLUS
- CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

- RN 157863-08-6 CAPLUS
- CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-,

- ●2 HC1
- RN 157863-09-7 CAPLUS
- CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

NH-CH2-Ph

RN 157863-10-0 CAPLUS CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

NH-CH2-Ph

- ●2 HC1
- RN 157863-11-1 CAPLUS
- CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

- RN 157863-12-2 CAPLUS
- CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 157863-13-3 CAPLUS
- CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

RN

CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 157863-15-5 CAPLUS CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-(CA INDEX NAME)

RN 157863-16-6 CAPLUS

CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

- RN 157863-17-7 CAPLUS
- CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 157863-18-8 CAPLUS
- CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN  $157863{-}19{-}9$  CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

## ●2 HC1

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 157863-20-2 CAPLUS

CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- RN 157863-21-3 CAPLUS
- CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 157863-22-4 CAPLUS
- CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

- RN 157863-23-5 CAPLUS
- CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]-(CA INDEX NAME)

- RN 157863-99-5 CAPLUS
- CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)

NH-CH2-CH2-NMe2

# 3 HC1

RN 171661-62-4 CAPLUS

CM 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

NH-CH2-CH2-OEt

RN 171661-63-5 CAPLUS

4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)-, CN hydrochloride (1:2) (CA INDEX NAME)

NH-CH2-CH2-OEt

### ●2 HC1

L7 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:761961 CAPLUS DOCUMENT NUMBER: 123:340173 ORIGINAL REFERENCE NO.: 123:61059a,61062a

TITLE: 4-Aminoquinazoline derivatives as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and

thromboxane A2 synthetase

Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; INVENTOR(S):

Kondo, Kigen; Yu, Dingwei T. PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 44 pp. Cont.-in-part of U.S. Ser. No. 76,431,

abandoned.

CODEN: USXXAM DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE			
				-				
US 5436233	A	19950725	US 1993-154518		19931119			
JP 06192235	A	19940712	JP 1993-197039		19930714	<		
CA 2100626	A1	19940116	CA 1993-2100626		19930715	<		
KR 191416	B1	19990615	KR 1993-13549		19930715	<		
AT 208771	T	20011115	AT 1993-305557		19930715	<		
ES 2167325	T3	20020516	ES 1993-305557		19930715	<		
PT 579496	T	20020531	PT 1993-305557		19930715	<		
JP 08099962	A	19960416	JP 1995-264667		19950920	<		
JP 2923742	B2	19990726						
PRIORITY APPLN. INFO.:			US 1992-913473	B2	19920715	<		
			US 1993-76431	B2	19930614	<		
OTHER SOURCE(S): GI	CASRE	ACT 123:3401	73; MARPAT 123:340173					

AB Title compds. I [R1 is H, C1-4 alkyl; Y is a single bond or C1-6 alkylene; A is (i) CyA-(R2)l, (ii) ORO or S(0)R0 in which R0 is R0A or R0B; R0A is CyA-(R2)l; R0B is H or C1-4 alkyl; p is 0-2; CyA is, e.g., (1) 3-7 membered, saturated or unsatd., monocyclic carbocyclic ring, (2) 7-membered unsatd. or partially saturated, monocyclic hetero ring containing as hetero

atoms,

one nitrogen atom, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero

atoms,

One nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms; R2 is R2A or R2B; R2A is, e.g., CS, OCF3; R2B is, e.g., H, C1-4 alkyl, C1-4 alkoxy; Z is ZA or ZB, ZA is methylene, ethylene, ethylene, ethylene, ethylene, EB is a single bond; CyB is, e.g., (1) "-Memebered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero

atoms,

two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as a hetero atom, one nitrogen atom; R3 = e.g., H, C1-4 alkyl; R4 = e.g., NHSO2R11, R11 = e.g., C1-4 alkyl; l, m, n are independently 1 or 2 (with provisos)] are provided as inhibitors of cGMP-PDE and TXA2 synthetase. Thus, e.g., treatment of 2-(1-imidazoly)1-4-(2-methoxyethyl)amino-6-(2- triethylsilylethynyl)quinazoline (preparation given) with tetrabutylammonium fluoride afforded 6-ethynyl-4-(2-methoxyethyl)amino-2-(1-imidazoly)1quinazoline (II); II.2RCl demonstrated inhibition of cGMP-PDE with and TXA2 synthetase with IC50 = 4.6 + 10-8 and 2.4 + 10-6 M, resp. Pharmaceutical formulations were given.

IT 157862-69-6P 157862-71-0P 157862-73-2P 157862-75-4P 157862-77-6P 157862-98-9P 157862-84-5P 157862-86-9P 157862-99-3P 157862-99-5P 157862-97-0P

157863-05-3P 157863-07-5P 157863-09-7P

157863-11-1P 157863-13-3P 157863-16-6P

157863-18-8P 157863-98-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(4-aminoquinazoline derivs. as inhibitors of cyclic quanosine

3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase) RN 157862-69-6 CAPLUS

4-Ouinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX CN NAME)

157862-71-0 CAPLUS

CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX

RN 157862-73-2 CAPLUS

CN 4-Ouinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

157862-75-4 CAPLUS

4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

NH-CH2-Ph

157862-77-6 CAPLUS RN

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)- (CA INDEX NAME)

157862-79-8 CAPLUS RN

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-84-5 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)

RN 157862-86-7 CAPLUS

CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

- RN 157862-88-9 CAPLUS
- CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

- RN 157862-90-3 CAPLUS
- CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

RN

RN 157862-97-0 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)

157863-05-3 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3pyridinyl) - (CA INDEX NAME)

RN 157863-07-5 CAPLUS

CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157863-09-7 CAPLUS

CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157863-11-1 CAPLUS

CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157863-13-3 CAPLUS

CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

- RN 157863-16-6 CAPLUS
- CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

- RN 157863-18-8 CAPLUS
- CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

- RN 157863-98-4 CAPLUS
- CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethy1)-2-(3-pyridiny1)- (CA INDEX NAME)

NH-CH2-CH2-OMe

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IT 157862-70-9P 157862-72-1P 157862-74-3P 157862-76-5P 157862-78-7P 157862-80-1P 157862-81-2P 157862-83-4P 157862-83-4P 157862-81-2P 157862-83-4P 157862-91-4P 157862-91-4P 157862-91-4P 157862-91-4P 157862-95-6-9P 157862-96-6-9P 157862-96-6-9P 157862-96-6-9P 157862-96-6-4P 157862-99-2P 157863-00-8P 157863-06-4P
```

RN

CN

NH-CH2-Ph

# ●2 HC1

- RN 157862-72-1 CAPLUS
- CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

NH-CH2-Ph

#### ●2 HC1

- RN 157862-74-3 CAPLUS
- CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

RN 157862-76-5 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 157862-78-7 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 157862-80-1 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

RN 157862-81-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-82-3 CAPLUS

CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)

RN 157862-83-4 CAPLUS

CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)

RN 157862-85-6 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridiny1)-N-(2-thienylmethy1)-, hydrochloride (1:2) (CA INDEX NAME)

# ●2 HC1

RN 157862-87-8 CAPLUS

CN 4-Quinazolinamine, N-[(3-chloropheny1)methy1]-2-(3-pyridiny1)-, hydrochloride (1:2) (CA INDEX NAME)

RN 157862-89-0 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride
(1:3) (CA INDEX NAME)

#### ●3 HCl

RN 157862-91-4 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-,
hydrochloride (1:2) (CA INDEX NAME)

RN 157862-93-6 CAPLUS

CN 4-Quinazolinamine, N-(2-phenylethy1)-2-(3-pyridiny1)-, hydrochloride (1:2) (CA INDEX NAME)

NII CIIZ CIIZ FI

●2 HC1

CN

RN 157862-94-7 CAPLUS

4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- 157862-95-8 CAPLUS RN
- 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME) CN

- ●3 HC1
- RN 157862-96-9 CAPLUS CN
- Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

- RN 157862-98-1 CAPLUS CN 4-Ouinazolinamine, N
  - 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 157862-99-2 CAPLUS
- CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)

- RN 157863-00-8 CAPLUS
- CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-(phenylmethyl)- (CA INDEX NAME)

- 157863-06-4 CAPLUS
- CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 157863-08-6 CAPLUS
- CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

NH-CH2-Ph

- ●2 HC1
- RN 157863-10-0 CAPLUS
- 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, CN hydrochloride (1:2) (CA INDEX NAME)

RN 157863-12-2 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride
(1:2) (CA INDEX NAME)

●2 HC1

- RN 157863-14-4 CAPLUS

- RN 157863-15-5 CAPLUS
- CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-y1)ethyl]-2-(3-pyridinyl)-(CA INDEX NAME)

- RN 157863-17-7 CAPLUS
- CN 4-Quinazolinamine, N-[(3-nitropheny1)methy1]-2-(3-pyridiny1)-, hydrochloride (1:2) (CA INDEX NAME)

RN 157863-19-9 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 157863-20-2 CAPLUS

CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

RN 157863-21-3 CAPLUS

CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 157863-22-4 CAPLUS

CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

RN 157863-23-5 CAPLUS

CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]-(CA INDEX NAME)

NH-CH2-Ph

RN 157863-99-5 CAPLUS

CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridiny1)-4-quinazoliny1]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)

NH-CH2-CH2-NMe2

●3 HC1

RN 170985-91-8 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

 $\mathrm{NH}-\mathrm{CH}_2-\mathrm{CH}_2-\mathrm{OMe}$ 

●2 HC1

RN 170986-01-3 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridiny1)-N-[[3-(trifluoromethy1)pheny1]methy1]-(CA INDEX NAME)

RN 170986-02-4 CAPLUS

CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-(CA INDEX NAME)

RN 170986-03-5 CAPLUS

CN Benzenesulfonamide, N,N-dimethyl-4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)

L7 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:605373 CAPLUS

DOCUMENT NUMBER: 121:205373 ORIGINAL REFERENCE NO.: 121:37397a,37400a

TITLE: 4-aminoquinazoline derivatives, and their use as

medicine

INVENTOR(S): Lee, Sung Jai; Konishi, Yoshitaka; Macina, Orest

Taras; Kondo, Kigen; Yu, Dingwei Tim PATENT ASSIGNEE(S):

Ono Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 86 pp. CODEN: EPXXDW

DOCUMENT TYPE: Pat.ent. LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 579496	A1	19940119	EP 1993-305557	19930715 <
EP 579496	B1	20011114		
R: AT, BE, CH,	DE, DK	. ES, FR, GB,	, GR, IE, IT, LI,	LU, MC, NL, PT, SE
JP 06192235	A	19940712	JP 1993-197039	19930714 <
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <
KR 191416	B1	19990615	KR 1993-13549	19930715 <
AT 208771	T	20011115	AT 1993-305557	19930715 <
ES 2167325	Т3	20020516	ES 1993-305557	19930715 <
PT 579496	T	20020531	PT 1993-305557	19930715 <
JP 08099962	A	19960416	JP 1995-264667	19950920 <
JP 2923742	B2	19990726		
PRIORITY APPLN. INFO.:			US 1992-913473	A 19920715 <
			US 1993-76431	A 19930614 <
OTHER SOURCE(S):	MARPAT	121:205373		

The title compds. I wherein R1 is H or alkyl; Y is bond or alkylene; A is AB (i) -CyAR2, (ii) -OR0 or -S(O)pR0, R0 = H, alkyl, etc., p is 0-2, (iii) -NR16R17, R16, R17 are H, alkyl; CyA is (1) a 3-7 membered monocyclic carbocyclic ring, (2) a 4-7 membered monocyclic hetero ring containing as hetero atoms, one N atom, one N and one O atoms, two N and one O atoms, or one N and two O atoms, (3) a 4-7 membered monocyclic hetero ring containing as hetero atoms, 1 or 2 O or S atoms, R2 is (1) H, (2) alkyl, (3) alkoxy, (4) -COOR5, in which R5 is H or alkyl, (5) -NR6R7, R6, R7 are H, alkyl, (6) -SO2NR6R7, (7) halogen, (8) CF3, (9) NO2 or (10) CF3O; Z is bond, methylene, ethylene, vinylene or ethynylene; CyB is a heterocyclic ring; R3 is H, alkyl, alkoxy, halogen or CF3; R4 is H, alkyl, alkoxy, etc., and acid addition salts thereof, salts thereof, and hydrates thereof were prepared and have inhibitory effect on cGMP-PDE, or addnl. on TXA2 synthetase.

Thus, a representative prepared compound II had inhibitory activity IC50 of 3.6 x 10-7 on cGMP-PDE.

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157862-69-6P 157862-70-9P 157862-71-0P
157862-72-1P 157862-73-2P 157862-74-3P
157862-75-4P 157862-76-5P 157862-77-6P
157862-78-7P 157862-79-8P 157862-80-1P
157862-81-2P 157862-82-3P 157862-83-4P
157862-84-5P 157862-85-6P 157862-86-7P
157862-87-8P 157862-88-9P 157862-89-0P
157862-90-3P 157862-91-4P 157862-92-5P
157862-93-6P 157862-94-7P 157862-95-8P
157862-96-9P 157862-97-0P 157862-98-1P
157862-99-2P 157863-00-8P 157863-05-3P
157863-06-4P 157863-07-5P 157863-08-6P
157863-09-7P 157863-10-0P 157863-11-1P
157863-12-2P 157863-13-3P 157863-14-4P
157863-15-5P 157863-16-6P 157863-17-7P
157863-18-8P 157863-19-9P 157863-20-2P
157863-21-3P 157863-22-4P 157863-23-5P
157863-98-4P 157863-99-5P 157864-02-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
   (preparation of, as cardiovascular agents)
```

157862-69-6 CAPLUS RN

4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX CN NAME)

RN 157862-70-9 CAPLUS

CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 157862-71-0 CAPLUS

4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX CN NAME)

NH-CH2-Ph

157862-72-1 CAPLUS

CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

NH-CH2-Ph

●2 HC1

RN 157862-73-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-74-3 CAPLUS 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME) CN

RN 157862-75-4 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

NH-CH2-Ph

RN 157862-76-5 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 157862-77-6 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)- (CA INDEX NAME)

RN

157862-78-7 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

NH-CH2-Ph

- ●2 HC1
- RN 157862-79-8 CAPLUS
- CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

NH-CH2-Ph

- RN 157862-80-1 CAPLUS
- CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

NH-CH2-Ph

- 2 HC1
- RN 157862-81-2 CAPLUS
- CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)

- RN 157862-82-3 CAPLUS
- CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)

- RN 157862-83-4 CAPLUS
- CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)

- RN 157862-84-5 CAPLUS
- CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)

- RN 157862-85-6 CAPLUS
- CN 4-Quinazolinamine, 2-(3-pyridiny1)-N-(2-thienylmethy1)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 157862-86-7 CAPLUS
- CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-87-8 CAPLUS

CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

#### ●2 HC1

RN 157862-88-9 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

RN 157862-89-0 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)

# ●3 HC1

RN 157862-90-3 CAPLUS

CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-91-4 CAPLUS

CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

157862-92-5 CAPLUS RN

CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157862-93-6 CAPLUS

CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

# ●2 HC1

RN 157862-94-7 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridiny1)-N-[[3-(trifluoromethy1)pheny1]methy1]-, hydrochloride (1:2) (CA INDEX NAME)

RN 157862-95-8 CAPLUS

CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)

#### ●3 HC1

RN 157862-96-9 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-,
hydrochloride (1:2) (CA INDEX NAME)

- RN 157862-97-0 CAPLUS
- CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)

- RN 157862-98-1 CAPLUS
- CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 157862-99-2 CAPLUS
- CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)

RN 157863-00-8 CAPLUS

CN 4-Quinazolinamine, 2-(6-chloro-3-pyridiny1)-N-(phenylmethy1)- (CA INDEX NAME)

RN 157863-05-3 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157863-06-4 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

RN 157863-07-5 CAPLUS

CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157863-08-6 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-,
hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 157863-09-7 CAPLUS

CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157863-10-0 CAPLUS

CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

# ●2 HC1

RN 157863-11-1 CAPLUS

CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

RN 157863-12-2 CAPLUS

CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 157863-13-3 CAPLUS
- CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

- RN 157863-14-4 CAPLUS

RN 157863-15-5 CAPLUS

CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-(CA INDEX NAME)

RN 157863-16-6 CAPLUS

CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

- RN 157863-17-7 CAPLUS
- CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 157863-18-8 CAPLUS
- CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN  $157863\!-\!19\!-\!9$  CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 157863-20-2 CAPLUS

CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 157863-21-3 CAPLUS
- CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- RN 157863-22-4 CAPLUS
- CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

- RN 157863-23-5 CAPLUS
- CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]-(CA INDEX NAME)

- RN 157863-98-4 CAPLUS
- CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethy1)-2-(3-pyridiny1)- (CA INDEX NAME)

NH-CH2-CH2-OMe

RN 157863-99-5 CAPLUS

CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1dimethyl-, hydrochloride (1:3) (CA INDEX NAME)

NH-CH2-CH2-NMe2

# ●3 HC1

157864-02-3 CAPLUS RN

CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)



NH-CH2-CH2-OMe

# HC1

ORIGINAL REFERENCE NO.:

L7 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1985:523502 CAPLUS DOCUMENT NUMBER: 103:123502 103:19757a,19760a

TITLE: Quinazoline and isoquinoline derivatives INVENTOR(S): Timmerman, Hendrik; Van der Goot, Henderikus

PATENT ASSIGNEE(S): AKZO N. V. , Neth. SOURCE: Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
EP 135975		A2	19850403	EP 1984-201386	19840928 <
EP 135975		A3	19850612		
EP 135975		В1	19880914		
R: AT,	BE, CH,	DE, FR	, GB, IT,	LI, LU, NL, SE	
WO 8501501		A1	19850411	WO 1984-EP312	19840928 <
W: AU,	DK, JP,	US			
AU 8435518		A	19850423	AU 1984-35518	19840928 <
AU 572585		B2	19880512		
ZA 8407673		A	19850529	ZA 1984-7673	19840928 <
JP 61500019		T	19860109	JP 1984-503906	19840928 <
AT 37183		T	19880915	AT 1984-201386	19840928 <
CA 1255674		A1	19890613	CA 1984-464249	19840928 <
US 4694000		A	19870915	US 1984-679000	19841206 <
DK 8406043		A	19850411	DK 1984-6043	19841217 <
PRIORITY APPLN.	INFO.:			NL 1983-3328 A	19830929 <
				EP 1984-201386 A	19840928 <
				WO 1984-EP312 A	19840928 <
OTHER SOURCE(S): GI		MARPAT	103:12350	02	

- AB Quinazolines and isoquinolines I (R, R1 = H, alkyl, alkoxy, halo, F3C; R2 = (un)substituted 2-pyridyl; R3 = H, (un)substituted alkyl, cycloalkyl, aryl; X = N, CH; Z = 0, NB), useful as bactericides, protozoacides, and inhibitors of Mycoplasma (no data) were prepared Thus, 2-H2NC6H4CONH2 was treated with 2-pyridinecarbonitrile to give 61% 4-amino-2-(2-pyridyl)quinazoline which was acylated with Ac20 to give 23%
- $_{\rm I}$  =  $_{\rm I}$  =
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and amination of)
- RN 91748-44-6 CAPLUS
- ${\tt CN \quad Benzamide, \ N-[2-(2-pyridinyl)-4-quinazolinyl]- \ (CA \ INDEX \ NAME)}$

- 40172-82-5P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and reactions of)
- RN 40172-82-5 CAPLUS
- CN 4-Quinazolinamine, 2-(2-pyridinyl)- (CA INDEX NAME)

- IT 91748-43-5P 91748-46-8P 91748-48-0P 91748-50-4P 91748-51-5P 91748-52-6P
  - RL: SPN (Synthetic preparation); PREP (Preparation)
- (preparation of) RN 91748-43-5 CAPLUS
- Acetamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME) CN

- 91748-46-8 CAPLUS RN
- Acetamide, 2,2,2-trifluoro-N-[2-(2-pyridiny1)-4-quinazoliny1]- (CA INDEX CN NAME)

- 91748-48-0 CAPLUS RN
- CN Methanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)

- RN 91748-50-4 CAPLUS
- CN Ethanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)

RN 91748-51-5 CAPLUS

CN Benzenecarboximidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)

91748-52-6 CAPLUS

CN Ethanimidamide, 2,2,2-trifluoro-N-[2-(2-pyridiny1)-4-quinazoliny1]- (CA INDEX NAME)

L7 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1979:439514 CAPLUS

91:39514 DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: 91:6449a,6452a

TITLE: Copper complexes of phenanthroline, isoquinoline, and

quinazoline derivatives useful in combatting

mycoplasma infections Nauta, W. T. INVENTOR(S):

PATENT ASSIGNEE(S):

Gist-Brocades N. V., Neth. SOURCE: Ger. Offen., 62 pp.

German

CODEN: GWXXBX DOCUMENT TYPE: Patent

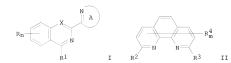
FAMILY ACC. NUM. COUNT:

LANGUAGE:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2826526	A1	19790104	DE 1978-2826526	19780616 <

NL	7713938	A	19790619	NL	1977-13938		19771215	
GB	2002746	A	19790228	GB	1978-27117		19780616	<
DK	7802750	A	19781218	DK	1978-2750		19780619	<
SE	7807001	A	19781218	SE	1978-7001		19780619	<
BE	868249	A1	19781219	BE	1978-188676		19780619	<
NL	7806573	A	19781219	NL	1978-6573		19780619	<
FR	2401155	A1	19790323	FR	1978-18282		19780619	<
US	4269834	A	19810526	US	1978-916541		19780619	<
CA	1102329	A1	19810602	CA	1978-305746		19780619	<
FR	2422659	A1	19791109	FR	1979-6395		19790313	<
PRIORITY	APPLN. INFO.:			GB	1977-25539	A	19770617	<
				NL	1977-13938	A	19771215	<
OTHER SO	DURCE(S):	MARPAT	91:39514					



- Cu complexes of I [R = H, alkyl, halogen; R1 = H, halogen, Ph, AB (alkyl-substituted) NH2; n = 1-4; A = (substituted) pyridyl or 2-imidazolyl; X = N, alkylidene] or II (R2 = R3 = H, halogen, alkyl, alkoxy, NH2; R4 = H, alkyl, halogen; m = 1-6) were prepared for use as antimycoplastic agents (test data tabulated). Thus, 2-MeC6H4CN was added to K in liquid NH3, followed by the addition of 1-methyl-2-cyano-1H-imidazole to give I (Rn = H, R1 = NH2, X = CH, A = 1-methyl-2-imidazolyl), which reacted with CuNO2 to give the Cu(I) complex. 69768-01-0P
  - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- 69768-01-0 CAPLUS
- CN 4-Quinazolinamine, 2-(6-amino-2-pyridinyl)- (CA INDEX NAME)

L7 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN 1975:479282 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 83:79282

ORIGINAL REFERENCE NO.: 83:12454h,12455a TITLE: Bactericidal and antihypertensive 4-aminoquinazoline

compounds INVENTOR(S): Nauta, Wijbe T.

PATENT ASSIGNEE(S): N. V. Koninklijke Pharmaceutische Fabrieken Voorheen

Brocades-Stheeman & Pharmacia, Neth.

SOURCE: Brit., 4 pp. Division of Brit. 1,390,014.

CODEN: BRXXAA

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

GB 1390015 A 19750409 GB 1974-47849 19720505 <-PRIORITY APPLN. INFO.: GB 1974-47849 A 19720505 <--

GI For diagram(s), see printed CA Issue.

A Ten title compds. I (R = pyrrolidyl, 2-, 3-, and 4-pyridyl, 2-furyl, 1-methyl-2-pyrrolyl; Rl = H, Cl, MeO; R2 = H, MeO) were prepared from 2-aminobenzonitriles by treatment with heterocyclic nitriles. Thus, I (R = pyrrolidyl, Rl = R2 = H) was prepared from 2-H2NC6H4CN in Et20 by refluxing with 1-pyrrolidientirile 4 hr under N in the presence of PhBr-Li followed by treatment with H2O. I showed bactericidal activity (no data) towards Mycoplasma gallisepticum and Pasteurella multocida. The antippertensive activities of I were assessed in rats (no data).

IT 40172-82-5P 40172-83-6P 40172-84-7P 40172-87-0P 40172-88-1P 40172-98-3P

40172-99-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(bactericide and antihypertensive, preparation of)

RN 40172-82-5 CAPLUS

CN 4-Quinazolinamine, 2-(2-pyridinyl)- (CA INDEX NAME)

RN 40172-83-6 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridiny1)-, hydrochloride (1:2) (CA INDEX NAME)

## ●2 HC1

RN 40172-84-7 CAPLUS

CN 4-Quinazolinamine, 6-chloro-2-(2-pyridinyl)- (CA INDEX NAME)

RN 40172-87-0 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)- (CA INDEX NAME)

RN 40172-88-1 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 40172-98-3 CAPLUS

CN 4-Quinazolinamine, 2-(2-pyridiny1)-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 40172-99-4 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

# ●2 HC1

L7 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:72180 CAPLUS

DOCUMENT NUMBER: 78:72180

ORIGINAL REFERENCE NO.: 78:11481a,11484a

TITLE: Pyrimidine derivatives

PATENT ASSIGNEE(S): N. V. Koninklijke Pharmaceutische Fabrieken Voorheen

Brocades-Stheeman & Pharmacia

SOURCE: Neth. Appl., 19 pp. CODEN: NAXXAN

DOCUMENT TYPE: Patent LANGUAGE: Dutch FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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	NL 7206067	A	19721109	NL 1972-6067		19720505 <
	JP 56001315	В	19810113	JP 1972-44512		19720504 <
	NO 139270	В	19781023	NO 1972-1600		19720505 <
	NO 139270	С	19790131			
	SE 406197	B	19790129	SE 1972-5960		19720505 <
	SE 406197	С	19790510			
PRIC	ORITY APPLN. INFO.:			GB 1971-13802	Α	19710507 <
GI	For diagram(s), see	printe	d CA Issue.			

AB

Aminoquinazolines (I, R = NMe2, NEt2, pyrrolidino, 2-furyl, 2-pyridyl, 1-methyl-2-pyrrolyl, 4-(2-furoyl)-1-piperazinyl; R1=R2=H, CMe; R1=Cl. R2 = H) were prepared by treating the corresponding o-aminobenzonitrile with RCN and PhLi. Thus, reaction of o-H2NC6H4CN with Et2NCN and PhLi

gave I (R = NEt2, R1 = R2 = H). 40172-82-5P 40172-83-6P 40172-84-7P 40172-87-0P 40172-88-1P 40172-98-3P

40172-99-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) RN 40172-82-5 CAPLUS

CN 4-Quinazolinamine, 2-(2-pyridinyl)- (CA INDEX NAME)

RN 40172-83-6 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 40172-84-7 CAPLUS
CN 4-Quinazolinamine, 6-chloro-2-(2-pyridinyl)- (CA INDEX NAME)

RN 40172-87-0 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridiny1)- (CA INDEX NAME)

RN 40172-88-1 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridiny1)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 40172-98-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-pyridiny1)-, hydrochloride (1:1) (CA INDEX NAME)

BC1

CN

RN 40172-99-4 CAPLUS

4-Quinazolinamine, 2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

#### 2 HC1

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exact/norm bonds : 7-11 normalized bonds :

Thermorphis 50 mms . 1 - 2 1 - 6 2 - 3 3 - 4 4 - 5 5 - 6 5 - 7 6 - 10 7 - 8 8 - 9 9 - 10 13 - 14 13 - 18 14 - 15 15 - 16 16 - 17 17 - 18

isolated ring systems : containing 1 : 13 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom

L8 STRUCTURE UPLOADED

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L8 HAS NO ANSWERS

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 914 ITERATIONS SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 16467 TO 20093 PROJECTED ANSWERS: 0 TO

L9 0 SEA SSS SAM L8

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100.0% PROCESSED 18460 ITERATIONS SEARCH TIME: 00.00.01

6 ANSWERS

L10 6 SEA SSS FUL L8

=> d scan

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Urea, N-[2-(4,6-dimethyl-2-pyrimidinyl)-4-quinazolinyl]-N'-phenyl-MF C21 H18 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

- L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)-

MF C23 H21 N5 O3

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-[2-(methylthio)-4-pyrimidinyl]-4-quinazolinyl]amino]-, (1S,2R)-

MF C22 H19 N5 0 S

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- REGISTRY COPYRIGHT 2009 ACS on STN L10 6 ANSWERS
- 1H-Inden-2-ol, 1-[[2-(2-amino-4-pyrimidinyl)-4-quinazolinyl]amino]-2,3dihydro-, (1S,2R)-C21 H18 N6 O

ME

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

 $1 \\ \\ \text{H-Inden-2-ol, } 1 \\ - \\ \\ [2 \\ - (2,4 \\ \\ - \\ \text{dimethoxy-5-pyrimidiny1}) \\ - \\ 7 \\ - \\ \text{methy1-4-me$ quinazolinyl]amino]-2,3-dihydro-, (1S,2R)-

MF C24 H23 N5 O3

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN IN 4-Quinazolinamine, 2-(4,6-dimethyl-2-pyrimidinyl)-MF C14 H13 N5

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

## ALL ANSWERS HAVE BEEN SCANNED

=> fil cap COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 188.76 740.51 DISCOUNT AMOUNTS (FOR OUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -24.60

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FILE COVERS 1907 - 3 Mar 2009 VOL 150 ISS 10 FILE LAST UPDATED: 2 Mar 2009 (20090302/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3 0 S L1 SSS FULL
4 STRUCTURE UPLOADED
15 38 S L4 SSS SAM
16 1075 S L4 SSS FAM

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FILE 'STNGUIDE' ENTERED AT 17:37:14 ON 03 MAR 2009

FILE 'REGISTRY' ENTERED AT 18:02:47 ON 03 MAR 2009
L8 STRUCTURE UPLOADED
L9 0 S L8
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L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:902403 CAPLUS DOCUMENT NUMBER: 141:374752

TITLE: Heterocyclic compound modulators of kinases,

particularly Tie-2 kinase, and use in the treatment of kinase-dependent diseases

INVENTOR(S): Ibrahim, Mohamed; Leahy, James; Sangalang, Joan C.;

Schnepp, Kevin; Shi, Xian; Nuss, John PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

	TENT NO.					DATE			APPL						ATE		
WO	2004092 2004092	196		A2		2004	1028		WO 2004-US10858				20040408 <			<	
	W: AB CM GE LH NO TO RW: BW B)	, AG, , CO, , GH, , LR, , NZ, , TM, , GH, , KG, , FI,	AL, CR, GM, LS, OM, TN, GM, KZ, FR,	AM, CU, HR, LT, PG, TR, KE, MD, GB,	AT, CZ, HU, LU, PH, TT, LS, RU, GR,	AU, DE, ID, LV, PL, TZ, MW, TJ, HU,	AZ, DK, IL, MA, PT, UA, MZ, TM, IE,	BA, DM, IN, MD, RO, UG, SD, AT, IT,	DZ, IS, MG, RU, US, SL, BE, LU,	EC, JP, MK, SC, UZ, SZ, BG, MC,	EE, KE, MN, SD, VC, TZ, CH, NL,	EG, KG, MW, SE, VN, UG, CY, PL,	ES, KP, MX, SG, YU, ZM, CZ, PT,	FI, KR, MZ, SK, ZA, ZW, DE, RO,	GB, KZ, NA, SL, ZM, AM, DK, SE,	GD, LC, NI, SY, ZW AZ, EE, SI,	
CA EP JP	2004230 2520323 1610774 R: A3	, BE, , SI, 238	CH, LT,	A1 A2 DE, LV, T	DK, FI,	2004 2006 ES, RO, 2006	1028 0104 FR, MK, 1012	GB, CY,	CA 2 EP 2 GR, AL, JP 2	004- 004- IT, TR, 006-	2520 7498 LI, BG, 5098	323 93 LU, CZ, 20	NL, EE,	2 SE, HU, 2	0040 0040 MC, PL, 0040	408 408 PT, SK, 408	 HR
PRIORITY									US 2 WO 2								<

OTHER SOURCE(S): MARPAT 141:374752

- AB The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly Tie-2. Methods of using the compds. and pharmaceutical compns. thereof to treat kinase-dependent diseases and conditions are also an aspect of the invention. Preparation of quinazoline compds. of the invention is described.
  - T 781615-68-7 781615-79-0 781615-81-4
    - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)
- RN 781615-68-7 CAPLUS
- CN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-7-methyl-4quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

- RN 781615-79-0 CAPLUS
- CN 1H-Inden-2-ol, 1-[[2-(2-amino-4-pyrimidinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 781615-81-4 CAPLUS
- CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-[2-(methylthio)-4-pyrimidinyl]-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

IT 781615-97-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)

RN 781615-97-2 CAPLUS

CN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidiny1)-4-quinazoliny1]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

#### Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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